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COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.21
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=>
Uploading structure

L1 STRUCTURE UPLOADED

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SAMPLE SEARCH INITIATED 18:03:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 160.90 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END: Y
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SEARCH TIME: 00.00.01

L3 12 SEA SSS FUL L1

=> file hcaplus COST IN U.S. DOLLARS

FULL ESTIMATED COST ENTRY SESSION 163.48 163.69

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L4 1 L3

=> d 14, ibib abs hitstr, 1

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

Full State Text

ACCESSION NUMBER: 2004:2698 HCAPLUS

DOCUMENT NUMBER: 140:59519

TITLE: Preparation of (biphenylylalkoxy) - and

[(phenylpyridyl)alkoxy]-substituted phenylalkanoic acids and phenoxyalkanoic acids as hPPAR activators for treatment of cardiovascular disease and related

SINCE FILE

TOTAL.

disorders

INVENTOR(S): Hamlett, Christopher Charles Frederick; Bell, Richard;

Beswick, Paul John; Gosmini, Romain Luc Marie; King,

Nigel Paul; Patel, Vipulkumar Kantibhai

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA SOURCE: PCT Int. Appl., 158 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Facence English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
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             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
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OTHER SOURCE(S):
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GΙ

AΒ Title compds. I [wherein R1 and R2 = independently H or alkyl; X = O or (CH2)n; n = 0-2; R3 R4 = independently H, alkyl, OMe, CF3, allyl, or halo; X1 = O, S, SO2, SO, or CH2; R5 and R6 = independently H, (halo)alkyl, or alkoxyalkyl; or CR5R6 = cycloalkyl; R7 = (un)substituted Ph or 6-membered heteroaryl; and pharmaceutically acceptable salts, solvates, and hydrolyzable esters thereof] were prepd. as human peroxisome proliferator activated receptor (hPPAR) activators. For example, a mixt. of 3-(bromomethyl)-4'-(trifluoromethyl)biphenyl, Et (4-mercapto-2methylphenoxy)acetate, and polymer-supported diisopropylethylamine in DCM was stirred at room temp. overnight to give the thioether. Sapon. of the ester with aq. NaOH in THF and acidification afforded II. Compds. of the invention showed at least 50% activation of hPPAR δ relative to the pos. control at concns. of 10-7 M or less. Thus, I and their pharmaceutical compns. are useful for the treatment of hPPAR mediated conditions, such as dyslipidemia, syndrome X, heart failure, hypercholesterolemia, cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia, or anorexia nervosa (no data). IT 638215-86-8P, [[4-[(1R)-1-[6-(4-Cyanophenyl)-2-pyridinyl]-2-

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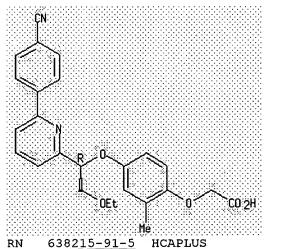
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (hPPAR activator; prepn. of (aryloxy)phenylalkanoic acids and
   (aryloxy)phenoxyalkanoic acids as hPPAR activators for treatment of
   cardiovascular disease and related disorders)
638215-86-8 HCAPLUS
Acetic acid, [4-[(1R)-1-[6-(4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-
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Absolute stereochemistry.

RN

CN



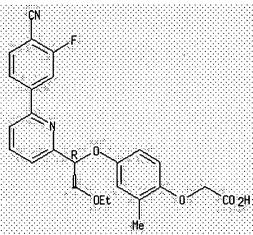
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Absolute stereochemistry.

RN <u>638215-96-0</u> HCAPLUS

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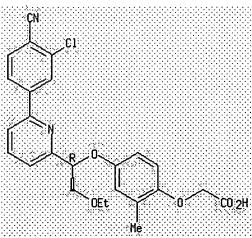
Absolute stereochemistry.



RN 638216-02-1 HCAPLUS

CN Acetic acid, [4-[(1R)-1-[6-(3-chloro-4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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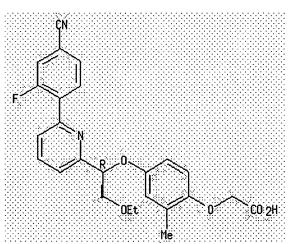
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Absolute stereochemistry.

RN 638216-05-4 HCAPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-cyano-2-fluorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN <u>638216-06-5</u> HCAPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-cyano-2-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN <u>638216-10-1</u> HCAPLUS

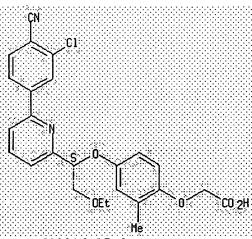
CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-3-fluorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN <u>638216-16-7</u> HCAPLUS

CN Acetic acid, [4-[(1S)-1-[6-(3-chloro-4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638216-17-8 HCAPLUS

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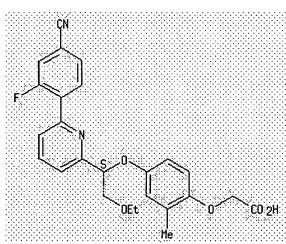
ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN <u>638216-19-0</u> HCAPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-2-fluorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN <u>638216-20-3</u> HCAPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-3-methoxyphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file caold COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 7.39 171.08 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION -0.73CA SUBSCRIBER PRICE -0.73

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=> d his

L4

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FILE 'REGISTRY' ENTERED AT 18:00:36 ON 20 DEC 2005

L1 STRUCTURE UPLOADED

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L3 12 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 18:04:02 ON 20 DEC 2005 1 S L3 FILE 'CAOLD' ENTERED AT 18:04:25 ON 20 DEC 2005

=> s 13

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COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.43 171.51

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Uploading structure

L6 STRUCTURE UPLOADED

=> d 16 L6 HAS NO ANSWERS L6 STR

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NEWS WWW

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http://www.cas.org/ONLINE/UG/regprops.html

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L1 STRUCTURE UPLOADED

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81.9% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

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PROJECTED ANSWERS: 951 TO 1977

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50 ANSWERS

100.0% PROCESSED 49782 ITERATIONS 1449 ANSWERS

SEARCH TIME: 00.00.01

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=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

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FILE COVERS 1907 - 20 Dec 2005 VOL 143 ISS 26 FILE LAST UPDATED: 19 Dec 2005 (20051219/ED)

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738046 THU/RL

L4 102 L3/THU

(L3 (L) THU/RL)

=> s 14 and pd < july 2002

22609159 PD < JULY 2002

(PD<20020700)

L5 34 L4 AND PD < JULY 2002

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L5 ANSWER 1 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full titific Text References

ACCESSION NUMBER: 2003:270940 HCAPLUS

DOCUMENT NUMBER: 139:286120

TITLE: Pharmacology of a selective peroxisome proliferator-activated receptor δ agonist,

proliferator-activated receptor δ agonist, GW501516, in obese dyslipidemic primates

AUTHOR(S): Oliver, William, Jr.; Sternbach, Dan; Hansen, Barbara;

Willson, Timothy

CORPORATE SOURCE: GlaxoSmithKline, Research Triangle Park, NC, 27709,

USA

SOURCE:

Medical Science Symposia Series (2002),

18 (Peroxisome Proliferator Activated Receptors),

131-134

CODEN: MSSYEI; ISSN: 0928-9550

PUBLISHER:

Kluwer Academic Publishers

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB To evaluate the therapeutic potential of a PPARô agonist the authors developed a subtype selective small mol. ligand using combinatorial chem. and structure based drug design. GW501516 is a high affinity ligand in a human PPAR δ binding assay with Ki = 1.1 ? 0.1 nM with a >1,000-fold selective for PPAR δ over the PPAR α and γ subtypes. The obese rhesus is a primate model of human metabolic disease that develops spontaneous adult-onset obesity on std. low fat diets and shows a high risk of developing overt diabetes. The prediabetic state of these primates displays many of the same features of human metabolic syndrome X, including dyslipidemia, insulin resistance, central obesity, hyperinsulinemia, and hypertension. Obese rhesus monkeys received increasing doses of GW501516 (0.1, 0.3, 1, and 3 mg/kg, bid) with each dose administered over a 4-wk period and clin. chemistries examd. The results of the study demonstrated that PPAR δ agonists are likely to have beneficial effects on the lipid triad of low HDLc, increased proportions of small dense LDLc, and elevated triglycerides through a mechanism that increases cholesterol flux from peripheral tissues. These findings further support the value of PPARO agonists and GW501516 specifically, as therapeutic agents for decreasing the incidence of cardiovascular disease assocd. with metabolic syndrome X.

IT 317318-70-0, GW501516

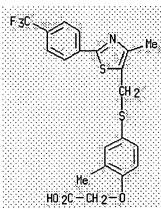
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacol. of a selective peroxisome proliferator-activated receptor

 δ agonist, GW501516, in obese dyslipidemic primates)

RN <u>317318-70-0</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

8

Full Citie Text Selections ACCESSION NUMBER:

2002:487541 HCAPLUS

DOCUMENT NUMBER: 137:63239

TITLE:

Thia- and oxazoles and their use as hPPAR delta

agonists

INVENTOR(S): Beswick, Paul John; Patel, Vipulkumar; Sierra, Michael

Lawrence

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

PATENT 1	PATENT NO.					DATE			APPL:	[CAT	ION 1	١٥.		D	ATE		
WO 2002	05004	18		A1	-	2002	0627		WO 2	001-	EP14	387		2	0011	218	
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	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
	LS, LT, 1 PL, PT, 1				MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	OM,	PH,	
	PL, PT,				SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	
	UG, US,					ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
RW:	UG, US, RW: GH, GM,					MZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	AT,	BE,	CH,	
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	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
AU 2002	02966	59		A5		2002	0701		AU 2	002-	<u> 2966</u>	9		2	0011	218	
EP 1343	772			A1		2003	0917		EP 2	001-	9905	<u>71</u>		2	0011	218	
R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	ΝL,	SE,	MC,	PT,	
	ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
US 2004	10249	93		A1		2004	0527		US 2	003-	<u>4513</u>	<u>07</u>		2	0031	117	
PRIORITY APP	LN.]	INFO	.:						GB 2	000-	3110	9	1	A 2	0001	220	
									WO 2	001-	EP14	<u>887</u>	1	₩ 2	0011	218	
OTHER SOURCE	(S):			MAR	PAT	137:	6323	9									

I (e.g. [4-[1,1-difluoro-3-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,3-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,3-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,3-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,3-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,3-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,3-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,4-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,4-[4-(trifluoromethyl)phenyl]-1AB thiazol-5-yl]propyl]-2-methylphenoxy]acetic acid) or pharmaceutically acceptable salts and solvates thereof are claimed. R1 and R2 are independently H or C1-3alkyl, m is 0-3; X1 is NH, NCH3, O, S; R3, R4 and R5 are independently H, CH3, CF3, OCH3, allyl or halogen; X2 is (CR10R11)n wherein n is 1 or 2; R10 and R11 independently represent H, F or C1-16alkyl; R26 and R27 are independently H, C1-3 alkyl or R26 and R27 together with the C atom to which they are bonded form a 3-5 membered cycloalkyl ring. R6 and R7 independently represent H, F or C1-16alkyl; R9 is C1-6alkyl or CF3; one of Y and Z is N, the other is S or O; each R8 independently represents CF3, OCH3, CH3 or halogen; y is 0-5. Use of I for the manuf. of a medicament for the prevention or treatment of a hPPAR (human peroxisome proliferator activated receptor)-mediated disease or condition, such as dyslipidemia, syndrome X, heart failure, hypercholesteremia, cardiovascular disease, type II diabetes mellitus, type 1 diabetes, insulin resistance hyperlipidemia, obesity, anorexia, bulimia, inflammation and anorexia nervosa. Binding and transfection

assays are described but no results are given. Although the methods of prepn. are not claimed, 35 example prepns. of intermediates and claimed compds. are included.

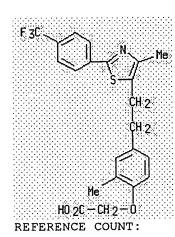
IT 439135-02-1P, [2-Methyl-4-[2-[4-methyl-2-[4-

(trifluoromethyl)phenyl]-1,3-thiazol-5-yl]ethyl]phenoxy]acetic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(thia- and oxazoles and use as hPPAR delta agonists)

RN 439135-02-1 HCAPLUS

CN Acetic acid, [2-methyl-4-[2-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full States
Text States

ACCESSION NUMBER: 2002:449665 HCAPLUS

DOCUMENT NUMBER: 137:20379

TITLE: Preparation of 1,2,4-oxadiazoles as hPPAR alpha

agonists

INVENTOR(S): Gellibert, Françoise Jeanne; Liu, Kevin Guangcheng

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIN	D I	DATE		j	APPL:	ICAT:	ION 1	NO.		DA	ATE		
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WO 2002	0461	74		A1		2002	0613		WO 2	001-	GB54	00		20	0011	206	
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AU 2002		02				2002	-							2	0011	206	

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	ZA	2003	0043	12		A		2004	1013		ZA	2003-	-4312	<u>.</u>		2	0030	602
	NO	2003	0025	82		A		2003	0807		NO	2003-	-2582	<u>.</u>		2	0030	606
	BR	2003	0021	37		A		2005	0322		BR	2003-	-2137	_		2	0030	613
	US	2004	1327	87		A1		2004	0708		US	2004-	-4338	07		2	0040	108
PRIO	RIT	APP	LN.	INFO	.:						GB	2000-	-2997	4		A 2	0001	208
		_									WO	2001-	-GB54	00	•	₩ 2	0011	206
OTHE GI	R S	OURCE	(S):			MARI	PAT	137:	2037	9								

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. [I; X1 = O, S; X2 = O, S; n = 1-3; one of Y and Z = N, and the other = O; R1, R2 = halo, H, CF3, OMe, alkyl; R3 = halo, CF3, alkyl; R4, R5 = H, alkyl; Y = 0-5] and their pharmaceutically acceptable salts, solvates and hydrolysable esters, were prepd. Thus, reacting II with III (prepns. given) in the presence of K2CO3 in Me2CO (42%) followed by ester hydrolysis (99%) afforded the acid IV which showed EC50 of 0.024 µM against hPPAR alpha.

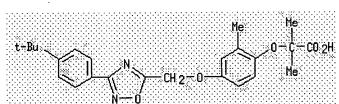
IT 435303-01-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1,2,4-oxadiazoles as hPPAR alpha agonists)

RN 435303-01-8 HCAPLUS

CN Propanoic acid, 2-[4-[[3-[4-(1,1-dimethylethyl)phenyl]-1,2,4-oxadiazol-5-yl]methoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

2

Full (1972) Text Releasance

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

2002:368462 HCAPLUS

136:386118

Preparation of (phenylalkyl)-lH-[1,2,4]triazolones as PPAR α agonists for treatment of cardiovascular disease associated with Syndrome X and related

conditions

INVENTOR (S):

Mantlo, Nathan Bryan; Collado Cano, Ivan; Dominianni, Samuel James; Etgen, Garret Jay, Jr.; Garcia-Paredes, Cristina; Johnston, Richard Duane; Letourneau, Michael Edward; Martinelli, Michael John; Mayhugh, Daniel Ray; Saeed, Ashraf; Thompson, Richard Craig; Wang, Xiadong; Coffey, David Scott; Schmid, Christopher Randall;

Vicenzi, Jeffrey Thomas; Xu, Yanping

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

GΙ

PCT Int. Appl., 388 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.					KINI	D	DATE			APPL:	ICAT:	ION 1	NO.		D.	ATE	
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								DK,										
			•		•			IN,										
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			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	υG,
			US,	UZ,	VN,	YU,	ZA,	zw										
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			ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,
	GQ, GW, MI													4 - 4		_	0011	100
	CA 2421154 AU 2002028592					AA		2002	0516		<u>CA 2</u>	<u>001-</u>	<u> 2421</u>	154		2	OOTI	109
				92					0516 0521									
	AU 2	0020	285			A 5		2002	0521		AU 2	002-	2859	<u>2</u>		2		109
	AU 2 EP 1	002 0	0285 908			A5 A2		2002 2003	0521 0820		AU 2 EP 2	002- 001-	2859 9897	<u>2</u> 04		2 2	0011 0011	109 109
	AU 2 EP 1	002 0	0285 908 AT,	BE,	CH,	A5 A2 DE,	DK,	2002 2003 ES,	0521 0820 FR,	GB,	AU 2 EP 2 GR,	002- 001- IT,	2859 9897	<u>2</u> 04		2 2	0011 0011	109 109
:	AU 2 EP 1	0020 3359 R:	D285 908 AT, IE,	BE,	CH, LT,	A5 A2 DE, LV,	DK,	2002 2003 ES, RO,	0 521 0820 FR, MK,	GB,	AU 2 EP 2 GR, AL,	002- 001- IT, TR	2859 9897 LI,	<u>2</u> 04 LU,	NL,	2 SE,	0011 0011	109 109 PT,
:	AU 2 EP 1 BR 2	0020 3359 R:	D2859 908 AT, IE, 0149	BE, SI,	CH, LT,	A5 A2 DE, LV, A	DK, FI,	2002 2003 ES,	0521 0820 FR, MK, 0923	GB, CY,	AU 2 EP 2 GR, AL, BR 2	002- 001- IT, TR 001-	2859 9897 LI, 1498	<u>2</u> 04 LU,	NL,	2 2 SE,	0011 0011 MC,	109 109 PT,
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	BR 2 JP 2 ZA 2 NO 2 HR 2	002(3355 R: 001(004) 003(003)	D285 908 AT, 1E, 0149 5131 0025 0020 0003	BE, SI, 86 66 17 59	CH, LT,	A5 A2 DE, LV, A T2 A A	DK, FI,	2002 2003 ES, RO, 2003 2004 2004 2003 2003	0521 0820 FR, MK, 0923 0430 0630 0624 0831	GB, CY,	AU 2 EP 2 GR, AL, BR 2 JP 2 ZA 2 NO 2 HR 2	002- 001- IT, TR 001- 002- 003- 003- 003-	2859 9897 LI, 1498 5410 2517 2059 365	2 04 LU, 6 88	NL,	2 2 SE, 2 2 2 2 2	0011 0011 MC, 0011 0011 0030	109 109 PT, 109 109 331 508
	BR 2 JP 2 ZA 2 NO 2 HR 2 US 2	0020 3355 R: 0010 0045 0030 0030 0030	0285: 908 AT, IE, 0149: 5131: 0025: 0020: 0003: 1025:	BE, SI, 86 66 17 59 65	CH, LT,	A5 A2 DE, LV, A T2 A A	DK, FI,	2002 2003 ES, RO, 2003 2004 2004 2003	0521 0820 FR, MK, 0923 0430 0630 0624 0831	GB, CY,	AU 2 EP 2 GR, AL, BR 2 JP 2 ZA 2 NO 2 HR 2 US 2	002- 001- IT, TR 001- 002- 003- 003- 003-	2859 9897 LI, 1498 5410 2517 2059 365 4156	2 04 LU, 6 88 73	NL,	2 2 SE, 2 2 2 2 2	0011 0011 MC, 0011 0011 0030 0030 0030 0030	109 109 PT, 109 109 331 508 508
	BR 2 JP 2 ZA 2 NO 2 HR 2 US 2	0020 3355 R: 0010 0045 0030 0030 0030	0285: 908 AT, IE, 0149: 5131: 0025: 0020: 0003: 1025:	BE, SI, 86 66 17 59 65	CH, LT,	A5 A2 DE, LV, A T2 A A	DK, FI,	2002 2003 ES, RO, 2003 2004 2004 2003 2003	0521 0820 FR, MK, 0923 0430 0630 0624 0831	GB, CY,	AU 2 EP 2 GR, AL, BR 2 JP 2 ZA 2 NO 2 HR 2 US 2 US 2	002- 001- IT, TR 001- 002- 003- 003- 003- 000-	2859 9897 LI, 1498 5410 2517 2059 365 4156 2473	2 04 LU, 6 88 73 17P	NL,	2 SE, 2 2 2 2 2 2 2 2 2	0011 0011 MC, 0011 0011 0030 0030 0030 0030	109 PT, 109 109 331 508 508 911 110
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AB Title compds. I [wherein R1 = H or (un)substituted alkyl, (hetero)arylalkyl, cycloalkylarylalkyl, CH2COR17R18; R17 = O or NH; R18 = (un)substituted benzyl; W = O or S; R2 = H or (un)substituted

(cyclo)alkyl, allyl, (hetero)arylalkyl, sulfonamido, amido, or OR10; R10 = H or alkyl; X = (un) substituted alkylene linker wherein 1 C may be replaced with O, NH, or S; Y = C, O, S, NH, or a single bond; E = H, CR3R4A; A, (un) substituted (CH2) nCO2C19, (aryl) alkyl, allyl, thioalkyl, thioaryl, alkoxyaryl, alkoxyalkyl, aminoaryl, or aminoalkyl; n = 0-3; A =carboxy, alkylnitrile, carboxamide, or (un) substituted sulfonamide, acylsulfonamide, or tetrazole; R3 = H, alkyl, or alkoxy; R4 = H, halo, or (un) substituted (cyclo) alkyl, alkoxy, arylalkyl, or Ph; or CR3R4 = cycloalkyl; R19 = H or (un) substituted arylmethyl or alkyl; R8 = independently H, alkyl, alkenyl, or halo; R9 = independently H, alkenyl, halo, allyl, OR10, or (un) substituted alkyl or (hetero) aryl; R10 = independently H or alkyl] were prepd. as peroxisome proliferator activated receptor alpha (PPARa) agonists. For example, condensation of 3-chlorobenzaldehyde with 4-(4-hydroxyphenyl)butyrylhydrazide (p-TsOH, i-PrOH), followed by redn. (NaBH3CN, THF, AcOH, i-PrOH), treatment with n-PrNCO (THF), and cyclization (KOH, MeOH), afforded 2-(3-chlorobenzyl)-5-[3-(4-hydroxyphenyl)propyl]-4-propyl-3H-triazolin-3-one. Addn. of tert-Bu 2-bromoisobutyrate (K2CO3, DMF) and deesterification (TFA, CH2Cl2) gave I bound to PPARa receptors with IC50 values of ? 100 nM and demonstrated PPARa cotransfection efficacy in CV-1 cells of ? 50%. Significant redn. in RQ in female Ay mice [0.864 ? 0.013 (control) vs. 0.803 ? 0.007 (treated); p < 0.001] was obsd. at doses of 50 mg/kg of I. Addnl., treated animals displayed significantly higher rates of energy expenditure than control animals (17.40 ? 0.49 vs. 13.62 ? 0.26 kcal/kg/h, resp.). Thus, I are useful for the prevention and/or treatment of cardiovascular disease assocd. with Syndrome X, hyperinsulemia, hypertension, elevated body wt., elevate triglycerides, and elevated LDL.

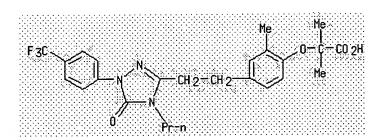
IT 425672-17-9P

CN

RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (cardiovascular agent; prepn. of (phenylalkyl)triazolones as PPARα agonists for treatment of cardiovascular disease assocd. with Syndrome X and related conditions)

RN 425672-17-9 HCAPLUS

Propanoic acid, 2-[4-[2-[4,5-dihydro-5-oxo-4-propyl-1-[4-(trifluoromethyl)phenyl]-1H-1,2,4-triazol-3-yl]ethyl]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full ting
Text Deleteines
ACCESSION NUMBER:

2002:275829 HCAPLUS

DOCUMENT NUMBER: 136:304064

TITLE: Medicaments of peroxisome proliferator-activated

receptor (PPAR) δ for treatment of inflammatory

diseases

INVENTOR(S): Buchan, Kevin William

PATENT ASSIGNEE(S):

Glaxo Group Limited, UK PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.					D	DATE		i	APPL:	ICAT:	ION 1	NO.		Di	ATE	
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		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	ΤZ,	UA,	UG,
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	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
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AU	2001	0920	46		A 5		2002	0415		AU 2	001-	9204	<u>6</u>		2	0011	001
EP	1324	<u>774</u>			A2		2003	0709		EP 2	001-	<u>9722</u>	<u>66</u>		2	0011	001
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
JP	IE, SI, L. JP 2004510750						2004	0408		JP 2	002-	5322	<u>58</u>		2	0011	001
US	US 2005131035						2005	0616		US 2	003-	3986	29		2	0011	001
PRIORIT	Y APP	LN.	INFO	.:						GB 2	000-	2436	1	7	A 2	0001	005
										WO 2	001-	GB43	<u>73</u>	I	w 2	0011	001

OTHER SOURCE(S): MARPAT 136:304064

Methods of prevention or treatment of inflammatory diseases or conditions, the use of PPAR delta activators in such methods, and methods for the identification of compds. useful in such treatment. PPAR δ agonist, 2-[2-methyl-4-[[[4-methyl-2-[4-(trifiuoromethyl)phenyl]-1,3-thiazol-5-yl]methyl]sulfanyl]phenoxy]acetic acid (prepn. given), inhibited the activity and expression of inducible nitric oxide synthase.

IT 317318-70-0P

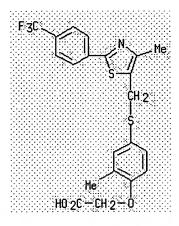
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(medicaments of peroxisome proliferator-activated receptor (PPAR)

 δ for treatment of inflammatory diseases)

RN 317318-70-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Text References

ACCESSION NUMBER:
DOCUMENT NUMBER:

2002:275828 HCAPLUS

TITLE:

136:289090

Synthesis of PPARô activators for treatment of

diseases or conditions where inhibition of nitric

oxide synthase and tumor necrosis factor is desirable

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

Buchan, Kevin William Glaxo Group Limited, UK

PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PF	PATENT NO.)	DATE		i	APPL:	I CAT	ION 1	NO.		D	ATE	
	2002						2002 (1	WO 2	001-	GB43	70		20	0011	002
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										WO 2	001	0043			. 2	OULL	002

OTHER SOURCE(S): MARPAT 136:289090

AB Methods of prevention or treatment of diseases or conditions where inhibition of NO synthase and/or TNF is desirable, the use of PPAR delta activators in such methods and methods for the identification of compds. useful in such treatment.

IT 317318-70-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

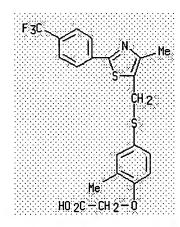
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of PPAR δ activators for treatment of diseases or conditions where inhibition of nitric oxide synthase and tumor necrosis factor is desirable)

RN 317318-70-0 HCAPLUS

CN

Acetic acid, [2-methyl-4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full States
Text References

ACCESSION NUMBER: 2002:171871 HCAPLUS

DOCUMENT NUMBER: 136:232294

TITLE: Oxazolyl-aryloxyacetic acid derivatives and thiazole

analogs and their use as PPAR agonists, e.g., as

antidiabetics and hypolipidemics

INVENTOR(S): Brooks, Dawn Alisa; Connor, Scott Eugene; Dominianni,

Samuel James; Godfrey, Alexander Glenn; Gossett, Lann Stacy; Rito, Christopher John; Tripp, Allie Edward; Warshawsky, Alan M.; Winneroski, Leonard Larry; Zhu,

Guoxin

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 246 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 20	020	0183	<u>55</u>		A1		2002	0307		WO 2	001-	<u>US22</u>	<u>615</u>		2	0010	823
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CA 24	CA 2420178				AA		2002	0307		CA 2	001-	2420	178		2	0010	823
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     JP 2004509084
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                                           JP 2002-523473
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                                                                  20030129
                                            US 2005-181640
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                                20051110
                                                                  20050714
PRIORITY APPLN. INFO.:
                                            US 2000-227233P
                                                               P 20000823
                                                              W 20010823
                                           WO 2001-US22615
                                                              A3 20030129
                                           US 2003-343474
                        MARPAT 136:232294
OTHER SOURCE(S):
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title oxazoles I and their pharmaceutically acceptable salts, AB solvates, and hydrates are disclosed [wherein R1 = (un) substituted aryl, heteroaryl, cycloalkyl, aryl-alkyl, heteroaryl-alkyl, or cycloalkyl-alkyl; R2 = H, alkyl, or haloalkyl; n = 2, 3, or 4, with the resultant polymethylene chain optionally contg. a carbon-carbon double bond; W = O or S; Y = (un) substituted phenylene, naphthylene, or 1,2,3,4tetrahydronaphthylene; R3 = H, alkyl, or haloalkyl; R4 = H, alkyl, haloalkyl or (un) substituted PhCH2; provided that when R3 = R4 = H, then R2 = alkyl or haloalkyl; R5 = H, alkyl, aminoalkyl]. Approx. 120 examples are given. One example of a thiazole analog is also given. The compds. are useful for modulating a peroxisome proliferator activated receptor, particularly in the treatment of diabetes mellitus. For instance, 2-(3-bromophenyl)-4-(chloromethyl)-5-methyloxazole (prepd. in 2 steps) underwent cyanation, hydrolysis to an acid, redn. to an alc., tosylation, and etherification with the corresponding phenol deriv. to give intermediate bromide II. The latter compd. underwent Pd-catalyzed ethynylation, hydrogenation of the ethynyl group, and alk. hydrolysis, to give title compd. III. This compd. bound to human PPAR α and PPARy receptors in vitro with IC50 values of 31 and 219 nM, resp., vs. values of 94,500 and 1180 for troglitazole, and 68,000 and 125,000 for fenofibric acid. At 30 mg/kg orally in mice (transgenic for human apoAI), III gave a 74.3% redn. in serum triglycerides and a 180% increase in high-d. lipoprotein cholesterol, vs. 41% and 48% for fenofibrate. III also gave complete normalization of blood glucose in diabetic mice at 30 mg/kg orally.

IT 403610-21-9P, 2-Methyl-2-[4-(5-methyl-2-phenyloxazol-4-

ylmethoxy) phenoxy] propionic acid

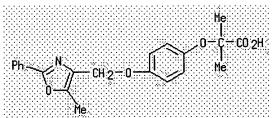
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of oxazolyl-aryloxyacetic acid derivs. and thiazole analogs and their use as PPAR agonists)

RN 403610-21-9 HCAPLUS

GT

CN Propanoic acid, 2-methyl-2-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

6

Full Stiles Text References

ACCESSION NUMBER:

2002:107062 HCAPLUS

DOCUMENT NUMBER:

136:145204

TITLE:

Fatty acid synthase inhibitors

INVENTOR (S):

Christensen, Siegfried B., IV; Daines, Robert A.; Lee,

Jinhwa; Xiang, Jian-Ning

PATENT ASSIGNEE(S):

Smithkline Beecham Corporation, USA

SOURCE:

PCT Int. Appl., 28 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.) !	DATE		1	APPL:	ICAT:	ION 1	.O.		D?	ATE	
	2002				A2 A3		2002 (0 207	1	WO 2	001-	US24:	366		26	0010	802
WO								AZ,	מם	BB	B.G.	BD	RY	B7.	CD	СН	CN.
	W:																
								DM,									
								IS,									
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		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	ΤZ,	UA,	UG,	US,
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								GR,									
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EP	1322	331			A2		2003	0702		EP 2	001-	9637	<u>83</u>		2	0010	802
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		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
JP	JP 2004505030						2004	0219		JP 2	002-	5152	06		2	0010	802
PRIORIT	IORITY APPLN. INFO.:									US 2	000-	2226	83P		P 2	0000	802
		-						WO 2	001-	US24	366	1	₩ 2	0010	802		

OTHER SOURCE(S): MARPAT 136:145204

AB This invention relates to the use of compds. as inhibitors of the fatty acid synthase FabH. This invention further comprises novel compds. and pharmaceutical compns. contg. these compds. and their use as FabH inhibitors that are useful as antibiotics for the treatment of Gram pos. and Gram neg. bacterial infections.

IT 395067-29-5P

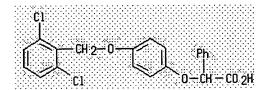
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fatty acid synthase FabH inhibitors for use in treatment of bacterial infections)

RN 395067-29-5 HCAPLUS

CN Benzeneacetic acid, $\alpha-[4-[(2,6-dichlorophenyl)methoxy]-$

(9CI) (CA INDEX NAME)



HCAPLUS COPYRIGHT 2005 ACS on STN ANSWER 9 OF 34

Releientes Text

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

2001:878334 HCAPLUS

136:160852

7-Substituted 5-Amino-2-(2-furyl)pyrazolo[4,3-e]-1,2,4-

triazolo[1,5-c]pyrimidines as A2A Adenosine Receptor

Antagonists: A Study on the Importance of

Modifications at the Side Chain on the Activity and

Solubility

Baraldi, Pier Giovanni; Cacciari, Barbara; Romagnoli, AUTHOR (S):

Romeo; Spalluto, Giampiero; Monopoli, Angela; Ongini,

Ennio; Varani, Katia; Borea, Pier Andrea

Dipartimento di Scienze Farmaceutiche, Universita CORPORATE SOURCE:

degli Studi di Ferrara, Ferrara, I-44100, Italy

Journal of Medicinal Chemistry (2002), 45(1), 115-126

CODEN: JMCMAR; ISSN: 0022-2623

American Chemical Society PUBLISHER:

DOCUMENT TYPE:

SOURCE:

LANGUAGE:

Journal English

CASREACT 136:160852 OTHER SOURCE(S):

It was demonstrated in the early 1990s that adenosine exerts many physiol. functions through the interaction with four different receptors, named A1, A2A, A2B, and A3. In the past few years, our group has been involved in the development of A2A antagonists, which led to the synthesis of SCH 58261 , the first potent and selective adenosine A2A antagonist, which has been widely used as a ref. compd. In this paper, we present an extended series of pyrazolotriazolopyrimidines synthesized with the aim to investigate the influence of the substitutions on the pyrazole ring. choice of the substituents was based on their capability to improve water soly. While retaining high affinity and selectivity at the human A2A adenosine receptor subtype. In this series, some structural characteristics that are important for activity, i.e., tricyclic structure, free amino group at 5-position, furan ring, and substituent at 7-position on the pyrazole moiety, have been maintained. We focused our attention on the nature of the Ph ring substituent to improve water soly. Following this strategy, we developed new compds. with good affinity and selectivity for A2A adenosine receptors, such as aminophenylpropylfuranylpyrazolotriazolopyrimidinylamine (Ki 0.22; hA1/hA2A ratio = 9818; Rm = 3.4), aminofuranylpyrazolotriazolopyrimidinyle thylhydroxybenzamidine (Ki 0.18 nM; hA1/hA2A ratio = 994; Rm = 2.8), aminophenylethylfuranylpyrazolotriazolopyrimidinylamine (Ki 0.13 nM; hA1/hA2A ratio = 4430; Rm = 3.6), and aminofuranylpyrazolotriazolopyrimidi nylpropylhydroxymethylbenzodioxolylmethanol (Ki 0.19 nM; hA1/hA2A ratio = 2273; Rm = 2.7). All the new synthesized compds. have no significant interaction with either A2B or A3 receptor subtypes. This new series of compds. deeply enlightens some structural requirements to display high affinity and selectivity for the A2A adenosine receptor subtype, although our goal of identifying new compds. with increased water soly. was not completely achieved. On this basis, other strategies will be devised to

improve this class of compds. with a profile that appears to be promising for treatment of neurodegenerative disorders, such as Parkinson's disease.

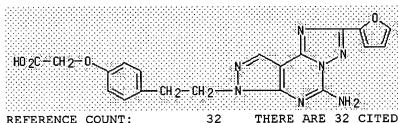
IT 396124-31-5P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(substituted aminofurylpyrazolotriazolopyrimidines as adenosine receptor antagonists)

396124-31-5 HCAPLUS RN

Acetic acid, [4-[2-[5-amino-2-(2-furanyl)-7H-pyrazolo[4,3-CN e][1,2,4]triazolo[1,5-c]pyrimidin-7-yl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN L5

80 A 1 A 1 FIIII Zelenenie

ACCESSION NUMBER:

2001:868414 HCAPLUS

DOCUMENT NUMBER:

136:20006

TITLE:

Preparation of pyrrole derivatives as tyrosine

phosphatase inhibitors for preventive and therapeutic

drugs for diseases such as diabetes

INVENTOR (S):

Matsumoto, Takahiro; Katayama, Nozomi; Mabuchi,

Hiroshi

PATENT ASSIGNEE(S):

Takeda Chemical Industries, Ltd., Japan

SOURCE:

PCT Int. Appl., 337 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.)	DATE			APPL:	ICAT:	ION I	. OV		D2	ATE	
WO	2001	0900	67		A1	_	2001	1129		WO 2	001-	JP42	01		2	0010	521
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JP	2002	1211	B6		A2		2002	0423		JP 2	001-	1509	10		2	0010	521
EP	1284	260			A1		2003	0219		EP 2	001-	9321	<u>53</u>		2	0010	521
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<u>US 2003144338</u> US 6911468	A1 B2	20030731 20050628	US 2002-276674		20021115
PRIORITY APPLN. INFO.:			JP 2000-154441	A	20000522
			JP 2000-247954	A	20000810
•			WO 2001-JP4201	W	20010521
OTHER SOURCE(S):	MARPAT	136:20006			

GΙ

Compds. of the general formula (I) or salts thereof [wherein X1 and X2 are AB each a free valency or a spacer having a C1-20 main chain; one of R1 and R2 is a cyclic group which bears a substituent selected from among (1) carboxylated C1-6 alkoxy groups which may be substituted and (2) carboxylated C1-6 aliph. hydrocarbon groups which may be substituted and may further have other substituent, and the other is an optionally substituted cyclic group or hydrogen; and R3, R4 and R5 are each hydrogen or a substituent, or alternatively R4 together with R3 or R5 may form an optionally substituted ring, with the proviso that some compds. of the general formula I are excluded.] are prepd. These compds. are useful as preventive and therapeutic drugs for diabetes, impaired glucose tolerance (IGT), tumors, autoimmune diseases, immunodeficiency, allergies, bone diseases, infections, joint diseases, hyperlipidemia, diabetes complications, obesity, cachexia, fatty liver, hypertension, liver diseases, polycystic ovary syndromes, muscular dystrophy, myocardial infarction, angina pectoris, cerebral infarction, syndrome X, high-blood insulin, inflammation, and arteriosclerosis or as improvers for insulin resistance or enhancers for insulin sensitivity or blood platelet aggregation inhibitors. Thus, cyclocondensation of 4-octylphenylamine with 1-(4-benzyloxyphenyl)-1,4-pentanedione in the presence of p-MeC6H4SO3H.H2O in PhMe under reflux for 12 h and hydrogenation of the resulting 1-(4-pentylphenyl)-2-methyl-5-(4-benzyloxyphenyl)-1H-pyrrole over 10% Pd-C in ethanol under hydrogen atm. gave 4-[1-(4-pentylphenyl)-5methyl-1H-pyrrol-2-yl]phenol which underwent Mitsunobu reaction with (S)-2-hydroxy-3-phenylpropanoic acid Et ester using 1,1'-(azocarbonyl)dipiperidine and Ph3P in PhMe at 80? for 12 h to give $(2R)-2-\{[4-[1-(4-pentylphenyl)-5-methyl-1H-pyrrol-2-yl]phenyl] oxy\}-3-methyl-1H-pyrrol-2-yl]phenyl] oxy\}-3-methyl-1H-pyrrol-2-yl]phenyl] oxy}-3-methyl-1H-pyrrol-2-yl]phenyl] oxy}-3-methyl-1H-pyrrol-2-yl]phenyl-1-yl[Phenyl] oxy}-3-methyl-1H-pyrrol-2-yl[Phenyl] oxy}-3-methyl-1H-pyrrol-2-yl[Phenyl] oxy}-3-methyl-1H-pyrrol-2-yl[Phenyl] oxy}-3-methyl-1H-pyrrol-2-yl[Phenyl] oxy}-3-methyl-1-yl[Phenyl] oxy}-3-me$ phenylpropanoic acid Et ester. The latter ester was converted into $(2R)-2-\{[4-[1-(4-pentylphenyl)-5-methyl-1H-pyrrol-2-yl]phenyl]oxy\}-3$ phenylpropanoic acid sodium salt (II). II showed IC50 of 0.09 μM against human protein tyrosine phosphatase-1B. Tablet formulations contg. specific I, e.g. $(2R)-2-\{4-[1-[2-(4-bromophenyl)ethan-1-yl]-5-methyl-1H$ pyrrol-2-yl]phenoxy}-3-phenylpropanoic acid, were described.

IT 376635-68-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses);

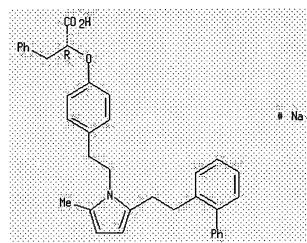
(prepn. of pyrrole derivs. as tyrosine phosphatase inhibitors for

preventive and therapeutic drugs for diseases such as diabetes)

RN <u>376635-68-6</u> HCAPLUS

CN Benzenepropanoic acid, $\alpha-[4-[2-[2-(2-[1,1'-biphenyl]-2-ylethyl)-5-methyl-1H-pyrrol-1-yl]ethyl]phenoxy]-, sodium salt, <math>(\alpha R)-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full GEREGOES
Text References
ACCESSION NUMBER:

ACCESSION NUMBER: 2001:713284 HCAPLUS

DOCUMENT NUMBER: 135:242458

TITLE: Preparation of amphipathic aldehyde glucuronides and

their use as adjuvants and immunoeffectors

INVENTOR(S): Johnson, David

PATENT ASSIGNEE(S): Corixa Corporation, USA SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT		KIN)	DATE		i	APPL:	ICAT:	ION 1	vo.		D	ATE			
WO 2001		<u>63</u>					0927	1	WO 2	001-	US85	48		2	0010	316
WO 2001	<u>.0706</u>	<u>63</u>		A3		2002	0516									
W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,
	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,
	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,
	RU,	SD,	SE,	SG,	SI,	sĸ,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,
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RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZW,	AT,	BE,	CH,	CY,
	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
CA 2403	553			AA		2001	0927		CA 2	001-	2403	553		2	0010	316
US 2001	0533	<u>63</u>		A1		2001	1220		US 2	001-	8109	<u> 15</u>		2	0010	316
US 6649	172			B2		2003	1118									
EP 1265	840			A2		2002	1218		EP 2	001-	9187	<u>84</u>		2	0010	316

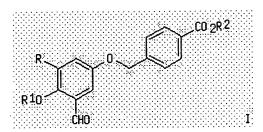
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003528068 T2 20030924 JP 2001-568876 20010316 A1 20040401 US 2003-652797 20030828 US 2004063647 P 20000317 US 2000-190466P PRIORITY APPLN. INFO.: US 2001-810915 A1 20010316 WO 2001-US8548 W 20010316

OTHER SOURCE(S):

MARPAT 135:242458

GΙ



AB This invention relates to the prepn. of arom. aldehyde contg. compds. I wherein R is H, CHO; R1 is H, alkyl, saccharyl, acyl, CO2H; R2 is H, alkyl, substituted alkyl, and their uses as adjuvants and immunoeffectors. Thus, 4-[(3-formyl-4-hydroxyyphenoxy)methyl]benzoic acid was prepd. and tested in mice for its adjuvant activity.

IT 360078-75-7P

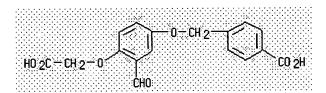
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); USES (Uses)

(prepn. of amphipathic aldehyde glucuronides and their use as adjuvants and immunoeffectors)

RN 360078-75-7 HCAPLUS

CN Benzoic acid, 4-[[4-(carboxymethoxy)-3-formylphenoxy]methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full States
Text Seterates

AUTHOR (S):

ACCESSION NUMBER: 2001:327444 HCAPLUS

DOCUMENT NUMBER: 135:132175

TITLE: A selective peroxisome proliferator-activated receptor

δ agonist promotes reverse cholesterol transport Oliver, William R., Jr.; Shenk, Jennifer L.; Snaith, Mike R.; Russell, Caroline S.; Plunket, Kelli D.; Bodkin, Noni L.; Lewis, Michael C.; Winegar, Deborah A.; Sznaidman, Marcos L.; Lambert, Millard H.; Xu, H.

Eric; Sternbach, Daniel D.; Kliewer, Steven A.;

Hansen, Barbara C.; Willson, Timothy M.

CORPORATE SOURCE: Metabolic Diseases Drug Discovery, GlaxoSmithKline,

Research Triangle Park, NC, 27709, USA

SOURCE: Proceedings of the National Academy of Sciences of the

United States of America (2001), 98(9), 5306-5311

CODEN: PNASA6; ISSN: 0027-8424 National Academy of Sciences

DOCUMENT TYPE: Journal LANGUAGE: English

PUBLISHER:

The peroxisome proliferator-activated receptors (PPARs) are dietary lipid sensors that regulate fatty acid and carbohydrate metab. The hypolipidemic effects of the fibrate drugs and the antidiabetic effects of the glitazone drugs in humans are due to activation of the α (NR1C1) and γ (NR1C3) subtypes, resp. By contrast, the therapeutic potential of the δ (NR1C2) subtype is unknown, due in part to the lack of selective ligands. We have used combinatorial chem. and structure-based drug design to develop a potent and subtype-selective PPARô agonist, GW501516. In macrophages, fibroblasts, and intestinal cells, GW501516 increases expression of the reverse cholesterol transporter ATP-binding cassette A1 and induces apolipoprotein A1-specific cholesterol efflux. When dosed to insulin-resistant middle-aged obese rhesus monkeys, GW501516 causes a dramatic dose-dependent rise in serum high d. lipoprotein cholesterol while lowering the levels of small-dense low d. lipoprotein, fasting triglycerides, and fasting insulin. Our results suggest that PPARô agonists may be effective drugs to increase reverse cholesterol transport and decrease cardiovascular disease

IT 317318-70-0, GW 501516

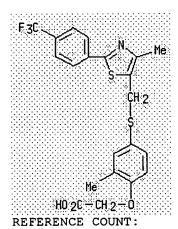
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(GW501516 promotes reverse cholesterol transport)

assocd. with the metabolic syndrome X.

RN <u>317318-70-0</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full stire Text selections

ACCESSION NUMBER: 2001:12437 HCAPLUS

DOCUMENT NUMBER: 134:86235

TITLE: Preparation of thiazoles and oxazoles as selective

activators of human PPAR delta

INVENTOR(S): Chao, Esther Yu-Hsuan; Haffner, Curt Dale; Lambert,
Millard Hurst, III; Maloney, Patrick Reed; Sierra,
Michael Lawrence; Sternbach, Daniel David; Sznaidman,

Marcos Luis; Willson, Timothy Mark; Xu, Huaqiang Eric;

Gellibert, Francoise Jeanne

PATENT ASSIGNEE(S):

Glaxo Group Limited, UK; et al.

SOURCE:

PCT Int. Appl., 83 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

English

CODEN: PIXXD2

PATENT INFORMATION:

OTHER SOURCE(S):

GI

	PAT	TENT 1	١٥.			KIND DATE			APPLICATION NO.							DATE					
	WO	20010	0006	03										20000622							
																	, CN,				
																	, HR,				
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		RW:												ΖW,	ΑT,	BE,	, CH,	CY,			
																	, BF,				
			CF.	CG.	CT.	CM.	GA.	GN.	GW.	ML.	MR	NE.	SN,	TD,	TG						
	CA	2377	126			AA		2001	0104	CA 2000-2377126							20000622				
	BR 2000011891					A		2002	0305	BR 2000-11891							20000622				
	EP 1189895					A1		2002	0327		EP :	2000-	9438	<u>47</u>		:	20000	622			
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			SI,	LT,	LV,	FI,	RO														
	TR	2001	0361	<u>2</u>		Т2		2002	0521								20000				
	JP 2003503399					Т2		2003	0128		<u>JP</u>	2001-	5070	<u>12</u>			20000	622			
	JP	3490	<u>704</u>			B2		2004	0126												
	JP 3490704 AU 765347							2003	0918		AU	2000-	5817	<u>1</u>			20000				
	JP 2003313141							2003	1106								20000				
	JP 2003313141 NZ 515676 AT 297384							2004	0528		NZ	2000-	5156	<u>76</u>			20000	622			
	AT 297384							2005	0615		<u>AT</u>	<u> 2000-</u>	9438	<u>47</u>			20000 20011 20011	622			
	ZA 2001009804							2003	0228		<u>ZA</u>	<u> 2001-</u>	9804				20011	128			
	NO 2001006078							2001	1213		NO	<u> 2001 -</u>	6078				20011	213			
	US 6710063							2004	0323		<u>US</u>	<u> 2001-</u>	·1893	5			20011	219			
	US 2003203947					A1		2003			<u>US</u>	2003-	3830	11			20030	306			
	US	6723	740			B2		2004	0420												
PRIOF	RIORITY APPLN. INFO.:						•										19990				
											JP	2001-	<u>-5070</u>	12		A3	20000	622			
											MO	2000-	EP57	20		W	20000	622			
											<u>US</u>	<u> 2001-</u>	1893	5		A1	20011	219			

MARPAT 134:86235

12/20/05

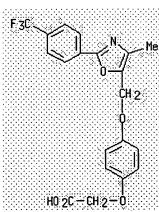
The title compds. [I; X = CO2H (or its ester), tetrazole; X1 = NH, NMe, O, etc.; X2 = O, S; R1, R2 = H, Me, OMe, halo; n = 1-2; one of Y and Z = N and the other = S or O; y = 0-5; R3 = CF3, halo], useful as selective activators of human PPAR δ , were prepd. E.g., a multi-step synthesis of the thiazole II was given. All of the exemplified acids I (X = CO2H) showed at least 50% activation hPPAR δ relative to the pos. control at ? 10-7 M. Most of the exemplified acids I (X = CO2H) were at least 10-fold selective for hPPAR δ over hPPAR α and hPPAR γ . One of the compds. I was studied in a Rhesus model and showed a shift in the LDLc compn. to fewer and larger LDLc particles.

IT 317318-16-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of thiazoles and oxazoles as selective activators of human PPAR delta)

RN 317318-16-4 HCAPLUS

CN Acetic acid, [4-[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-oxazolyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN



2000:421087 HCAPLUS

DOCUMENT NUMBER: 133:59090

TITLE: Preparation of phenylglycine derivatives as

pharmaceuticals

INVENTOR(S): Ackermann, Jean; Alig, Leo; Chucholowski, Alexander;

Groebke, Katrin; Hilpert, Kurt; Kuehne, Holger; Obst,

Ulrike; Weber, Lutz; Wessel, Hans Peter

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 242 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

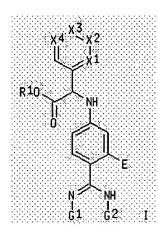
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2000	A1 20000622				WO 1999-EP9520							19991206						
	WO 2000035858 W: AE, AL, AM,												CH.					
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															MD,			
															SK,			
															KG,			
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DW.					MW.	SD.	ST.	SZ.	ΨZ.	UG.	7.W .	AT.	BE.	СН	CY,	DE.		
I/W.	חצר	EC.	RI,	ED,	GB	GR.	TE.	TT.	LU.	MC.	NT.	PT.	SE.	BF	, BJ,	CF.		
	CG,	CT.	CM	GD.	GN.	GW.	MT.	MR.	NE.	SN.	TD.	ΤG	,		,	,		
CB 22540	CA 2354023					2000		MR, NE, SN, TD, TG CA 1999-2354023							19991206			
				A		2001			BR 1					19991206				
	<u>BR 9916111</u> EP 1149069					2001			EP 1			_		19991206				
	EP 1149069			A1 B1		2004	_		====									
B. 1142	<u>Σ</u> Τ.	BE.	CH.					GB,	GR.	IT,	LI,	LU,	NL,	SE	, MC,	PT,		
Α							,	,	,	,	,	_ '	•		•	•		
ma 2001	IE, SI, LT, TR 200101744					2001	1221		TR 2	001-	2001	4	19991206					
	JP 2002532459					2002									19991206			
JP 36762				T2 B2		2005												
	RU 2198871			C1		2003			RU 2	001-								
	AU 758229			В2		2003	0320		AU 2	000-			19991	206				
	NZ 511927					2004	0227		NZ 1				19991	206				
AT 2744				E		2004	0915		AT 1	999-		19991206						
ES 2230				Т3		2005	0501		ES 1						19991	206		
US 6242				В1		2001	0605		US 1	999-		19991214						
US 2001		99		A1		2001	0524		US 2	001-	7589	77			20010	112		
US 6476				В2		2002	1105											
ZA 2001		34		A			0819		ZA 2	001-	4034				20010	517		
HR 2001				A1		2002	0630		HR 2	001-	427				20010	606		
NO 2001				A			0614		NO 2						20010	613		
US 2003				A1 20030501					US 2002-264943						20021004			
US 6683				В2		2004	0127											
US 2004		31		A1		2004	0219		US 2	003-	6390	30			20030	812		
	IORITY APPLN. INFO.:								EP 1	998-	1237	21		A	19981	214		
									WO 1	999-	EP95	20			19991			
							US 1	999-	4609	01		A1	19991	.214				
									US 2	001-	7589	77		A3	20010	112		
								002-				А3	20021	.004				
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OTHER SOURCE(S): MARPAT 133:59090

GΙ



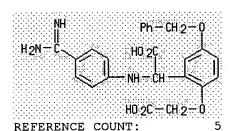
AB Novel N-(4-carbamimidoylphenyl)glycine derivs. I [R1 is H or the residue of an ester group which is cleavable under physiol. conditions; E = H, OH; three of X1 to X4 independently represent (un)substituted carbon and the fourth represents (un)substituted carbon or N; one of G1 and G2 represents H and the other represents H, alkyl, hydroxy, alkoxy, aroyl, CO2R or O2CR, where R = (un)substituted alkyl] or their hydrates, solvates or physiol. usable salts were prepd. as pharmaceuticals, e.g., antithrombotics. Thus, (RS)-(4-benzyloxy-3-methoxyphenyl)(4-carbamimidoylphenylamino)acetic acid was prepd. and showed Ki = 0.061 μM/L for inhibition of the amidolytic activity of factor VIIa/tissue factor complex.

IT 277319-34-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of phenylglycine derivs. as pharmaceuticals)

RN 277319-34-3 HCAPLUS

CN Benzeneacetic acid, α -[[4-(aminoiminomethyl))phenyl]amino]-2-(carboxymethoxy)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Strig Text References

ACCESSION NUMBER: 2000:335399 HCAPLUS

DOCUMENT NUMBER: 132:334458

TITLE: Preparation of 4-oxothiazole-5-acetamides as

PPARy receptor antagonists

INVENTOR(S): Collins, Jon Loren; Holmes, Christopher Patrick;

Lenhard, James Martin; Willson, Timothy Mark

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.						KIND DATE			APPL	ICAT		DATE				
WC	WO 2000027832						A2 20000518			WO 1	999-	EP84		19991109			
WC	WO 2000027832					A3 20000727											
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		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
		SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŬĠ,	US,	UZ,	VN,	YU,	ZA,	. ZW,	AM,
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	\mathbf{TM}								
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,
		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,
		CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
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EI						B1 20050302											
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE	, MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO										
<u>J1</u>	JP 2002529458						2002		JP 2	000-	5810	19991109					
A.	<u>JP 2002529458</u> AT 289995						E 20050315					9718	19991109				
U							B1 20030401				001-	8316	20010511				
Ŭ:	US 2002151569						A1 20021017			US 2	002-	1155	20020403				
PRIORI'	RIORITY APPLN. INFO.:									<u>GB 1</u>	998-	2461	4		A :	19981	111
										WO 1	999-	EP84	<u>77</u>	•	W :	19991	109
										US 2	001-	8316	<u>72</u>		A3 :	20010	511
OTHER :	THER SOURCE(S):						132:	3344	58								

GI

Title compds. [I; R = R4Z(CH2)n; R1 = hexyl, heptyl, alkylphenyl; R2 = Bu or (halo)benzyl; R3 = Bu or (un)substituted CH2Ph; R4 = CO2H, ureido, OH, OMe, etc.; Z = 1,4-phenylene; R4Z = 3,4-methylenedioxyphenyl; n = 2-4] were prepd. Thus, N-protected 4-(HO2C)C6H4(CH2)4NH2 was condensed with Sasrin resin and the deprotected product cyclocondensed with octanal and HO2CCH(SH)CH2CO2H to give, after amidation and resin cleavage, I [R = 4-(HO2C)C6H4(CH2)4, R1 = heptyl, R2 = R3 = CH2Ph]. Data for biol. activity of I were given.

IT 267413-00-3P

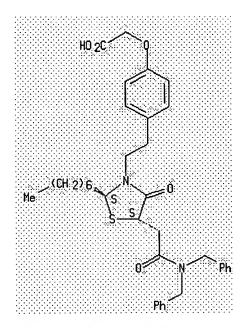
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-oxothiazole-5-acetamides as PPARy receptor antagonists)

RN 267413-00-3 HCAPLUS

CN Acetic acid, [4-[2-[(2R,5R)-5-[2-[bis(phenylmethyl)amino]-2-oxoethyl]-2-heptyl-4-oxo-3-thiazolidinyl]ethyl]phenoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 16 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full citing Text Pelejances

ACCESSION NUMBER: 1999:96030 HCAPLUS

DOCUMENT NUMBER: 130:139342

TITLE: Preparation of arylbenzimidazoles and analogs as

interleukin 1β inhibitors

INVENTOR(S): De Nanteuil, Guillaume; Portevin, Bernard; Bonnet,

Jacqueline; Fradin, Armel

PATENT ASSIGNEE(S): Adir et Compagnie, Fr.

SOURCE: Eur. Pat. Appl., 21 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	DATE			
EP 894795 EP 894795	A1 B1		EP 1998-401920	19980728		
R: AT, BE,		, ES, FR, G	GB, GR, IT, LI, LU, NL,	SE, MC, PT		
FR 2766822 FR 2766822	A1	19990205 20010223	FR 1997-9710	19970730		
US 6040327 JP 11100368	A A2	20000321	US 1998-120487 JP 1998-210640	19980722 19980727		
PT 894795 ES 2159922	T T3	20010928	PT 1998-401920 ES 1998-401920	19980728 19980728		
CA 2244438 NO 9803493	AA A	19990130 19990201	CA 1998-2244438 NO 1998-3493	19980729 19980729		
CN 1210859 CN 1087740	A B	19990317 20020717	CN 1998-117575	19980729		
ZA 9806814 AU 9878608	A A1	19990202 19990211	<u>ZA 1998-6814</u> AU 1998-78608	19980730 19980730		
AU 734447 BR 9802804	B2 A	20010614	BR 1998-2804	19980730		
HK 1018440	A1	20021101	нк 1999-103381	19990805		

GR 3036473
PRIORITY APPLN. INFO.:

T3 20011130

GR 2001-401332 FR 1997-9710 **20010830** A 19970730

OTHER SOURCE(S):

MARPAT 130:139342

GI

AB Title compds. [I; R = CRaRbR1; R1 = halo, OH, alkoxy, arylmethyl, etc.; Ra,Rb = H, OH, (ar)alkyl; R2 = 1 or 2 (hetero)aryl; Z = O, S, (alkyl)imino] were prepd. Thus, 2-amino-4-chloronitrobenzene was aminated by imidazole and the reduced product cyclocondensed with PhOCH2CO2H to give title compd. II. Data for biol. activity of I were given.

IT 220067-56-1P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of arylbenzimidazoles and analogs as interleukin 1β inhibitors)

RN 220067-56-1 HCAPLUS

Acetic acid, [4-[[[5-(1H-imidazol-1-yl)-1H-benzimidazol-2-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

0- CH 2-CO 2H

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

3

Full states Text References

ACCESSION NUMBER: 1998:324824 HCAPLUS

DOCUMENT NUMBER: 129:27961

TITLE: Preparation of heterocyclyl-substituted piperazines

for the prevention or treatment of a disease mediated by the binding of adhesion molecules to GPIIb/IIIa

INVENTOR(S): Mills, Stuart Dennett

PATENT ASSIGNEE(S): Zeneca Ltd., UK

SOURCE: U.S., 68 pp., Cont.-in-part of U.S. 5,563,141.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
us 5753659	A	19980519	<u>us 1995-458180</u>	19950602
US 5563141	A	19961008	US 1994-218174	19940328
US 5750754	A	19980512	<u>US 1996-658097</u>	19960604

PRIORITY APPLN. INFO.:	GB 1993-6451	A	19930329
	GB 1993-25610	A	19931215
	US 1994-218174	A2	19940328
	GB 1993-6453	A	19930329
	GB 1993-25605	A	19931215
	GB 1995-18188	Α	19950907

The title compds. [(M1)n-Q-(M2)1-n-L-A; n = 0-1; M1 = NH2; Q = an arom. heterocyclic group contg. N atom; M2 = imino; L = template; A = an acidic group, or its ester or amide, or sulfonamide] and their pharmaceutically acceptable salts and pro-drugs, useful for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa, for the inhibition of platelet aggregation, and for the treatment of unstable angina. Thus, reaction of Me 4-bromoacetylphenoxyacetate with 1-(4-pyridyl)piperazine in MeCN afforded Me 4-{2-[4-(4-pyridyl)piperazin-1-yl]acetyl}phenoxyacetate which showed pIC50 of 5.8-6.4 against binding of fibrinogen to GPIIb/IIIa.

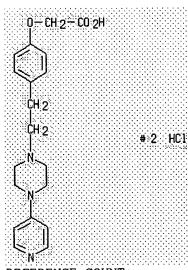
IT 166951-67-3P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)

RN <u>166951-67-3</u> HCAPLUS

Acetic acid, [4-[2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

68

Full Clarg Text Celerences

ACCESSION NUMBER:

1998:55525 HCAPLUS

DOCUMENT NUMBER: 128:128032

TITLE: Preparation of heterocyclyl-substituted

phenoxyalkanoic acids as fibrinogen receptor

antagonists

INVENTOR(S): Duggan, Mark E.; Egbertson, Melissa S.; Hartman,

George D.; Young, Steven D.; Ihle, Nathan C.

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA PCT Int. Appl., 270 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.				KIND DATE				j	APPL:	ICAT:	ION I	. OI		DATE			
WO	WO 9800134 A1						19980108 <u>WO 1997-US11133</u>						133		19970625			
	w:	AL,	AM,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GE,	HU,	
		IL,	IS,	JP,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LT,	LV,	MD,	MG,	MK,	MN,	MX,	
		NO,	NZ,	PL,	RO,	RU,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	UA,	US,	UZ,	
		VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM					
	RW:	GH,	KE,	LS,	MW,	SD,	SZ,	ŪĠ,	ZW,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	
		GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	
		GN,	ML,	MR,	NE,	SN,	TD,	TG										
CA	2258	093			AA		1998	0108		CA 1	997-	2258	093		1	9970	625	
AU	9735	798			A1		1998	0121		AU 1	997-	3579	<u>8</u>		1	9970	625	
AU	7211	30			B2		2000	0622										
EP	9121	75			A1		1999	0506		EP 1	997 <u>-</u>	9323	07		1	9970	625	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,	FI
JP	2000	5140	61		T2		2000	1024		JP 1	998-	5042	<u>91</u>		1	9970	625	
PRIORIT	Y APP	LN.	INFO	. :						US 1	996-	2097	<u>5P</u>		P 1	9960	628	
	_									GB 1	997-	893			A 1	9970	117	
										WO 1	997-	US11	133	,	W 1	9970	625	
OTHER S	OURCE	(S):			MAR	PAT	128:	1280	32									

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

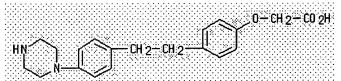
The title compds. X-Y-Z-A-B [I; X = (un) substituted 5-7- membered arom. or AB nonarom. ring, having 1-3 heteroatoms selected from N, O, and S, (un) substituted 9-10 membered fused arom. or nonarom. ring, having 1-3heteroatoms selected from N, O, and S; Y = (un)substituted 5-6 membered arom. or nonarom. ring, having 0-3 heteroatoms selected from N, O, and S; XY = II, III, IV, V; Z = C(O)NR4, N(R4)C(O), CH2CH2, CH:CH, etc.; R4 = H, C1-4 alkyl, C3-6 cycloalkyl; A = (un)substituted 5-6 membered arom. ring, having 0-3 heteroatoms selected from N, O, and S, 9-10 membered fused arom. ring having 0-3 heteroatoms (N, O, and S); B = C(CH2)mCO2R9, (CH2) nCO2R9, CH(R8) (CH2) pCO2R9, OCH(R8) (CH2) pCO2R9 (wherein m = 1-3; n = 1-30-3; p = 0-3; R8 = H, aryl, amino, etc.; R9 = H, aryl, C1-8 alkyl, etc.)], useful in inhibiting the binding of fibrinogen to blood platelets, inhibiting the aggregation of blood platelets, treating thrombus or embolus formation, inhibiting osteoclast mediated bone resorption, inhibiting angiogenesis, and in inhibiting tumor growth, were prepd. and formulated. Thus, a few-step detailed synthesis of the acid VI which showed IC50 in the range between 10 nM and 50 mM against ADP-stimulated platelet aggregation, was described.

IT 201808-81-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heterocyclyl-substituted phenoxyalkanoic acids as fibrinogen receptor antagonists)

RN 201808-81-3 HCAPLUS

CN Acetic acid, [4-[2-[4-(1-piperazinyl)phenyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2005 ACS on STN L5 ANSWER 19 OF 34

30 1 1 1 1 1 Full 32 E 32 10 E Text

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

1997:631661 HCAPLUS

127:242815

Anionic- and Lipophilic-Mediated Surface Binding

Inhibitors of Human Leukocyte Elastase

Regan, John; McGarry, Daniel; Bruno, Joseph; Green, Daniel; Newman, Jack; Hsu, Chin-Yi; Kline, Jane;

Barton, Jeffrey; Travis, Jeffrey; Choi, Yong Mi; Volz, Francis; Pauls, Henry; Harrison, Richard; Zilberstein,

Asher; Ben-Sasson, Shmuel A.; Chang, Michael

Departments of Medicinal Chemistry and Inflammation

Biology, Rhone-Poulenc Rorer, Collegeville, PA, 19426,

USA

Journal of Medicinal Chemistry (1997), 40(21),

3408-3422

CODEN: JMCMAR; ISSN: 0022-2623 American Chemical Society

Journal English

We report the synthesis of a series of diphenylmethane-based oligomers contg. anionic and lipophilic functionalities that are potent inhibitors of human leukocyte elastase (HLE). The enzyme inhibition is regulated by the size of the oligomer, as well as, the no. of charges. Lipophilicity is an important element in detq. potency and specificity against other basic enzymes. Compds. whose scaffolds contain three phenoxyacetic acid groups and three alkyl ethers are competitive and specific inhibitors of HLE with Ki = 20 nM. The mechanism of action of this class of compds. is believed to involve multidendate interactions with the surface of HLE near the active site which prevents substrate access to the catalytic site.

IT 147067-39-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of diphenylmethane-based oligomers as selective inhibitors of human leukocyte elastase)

147067-39-8 HCAPLUS RN

Acetic acid, [2-[[5-(carboxymethoxy)-4-[[5-(carboxymethoxymethoxy]-4-[[5-(carboxymethoxyme(carboxymethoxy)-4-(hydroxymethyl)-2-(phenylmethoxy)phenyl]methyl]-2-(phenylmethoxy) phenyl]methyl]-2-(phenylmethoxy) phenyl]methyl]-4hydroxyphenoxy] - (9CI) (CA INDEX NAME)

HO 2C = CH 2 = 0

$$CH$$
 2 = Ph
 HO = CH 2 = Ph

REFERENCE COUNT:

70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Substitution Text

ACCESSION NUMBER:

1997:513484 HCAPLUS

DOCUMENT NUMBER:

INVENTOR(S):

127:190753

TITLE:

Preparation of heterocyclic derivatives as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall: Faull Alan Wellington: Pearce, Robert

John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney Zeneca Ltd., UK

PATENT ASSIGNEE(S):

SOURCE:

U.S., 42 pp., Cont.-in-part of U.S. 5,556,977.

CODEN: USXXAM

DOCUMENT TYPE:

LANGUAGE:

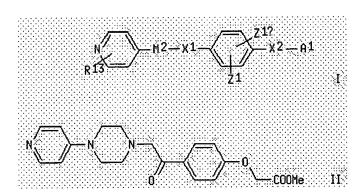
Patent English

FAMILY ACC. NUM. COUNT: 5

PA'	rent 1				KIN)	DATE				ICAT:					ATE		
	5652	242				19970729 <u>US 1</u>										19950601 19940328		
EP	5556 8251	84			A1		1998	0225										
		ΑT,	BE,	CH,	DE,	DK,		FR,	GB,								PT,	IE
CA	2194	397			AA		1996	1205		CA 1	996-	2194	397		1	9960	528	
	9638																	
							BB,											
		ES.	FI.	GB,	GE,	HU,	ıs,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LK,	LR,	LS,	LT,	
							MN,											
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	7101						1999						_					
	2304				71		1997			GB 1	996-	2712	7		1	9960	528	
							1998			<u> </u>	990	<u> </u>	-		_			
	2304						1997			-n 1	006	01.00	06		1	9960	528	
EP	<u>7962</u>																	
	R:			CH,	DE,	DK,	ES,	ĽΙ,	ĽΚ,	GB,	GK,	IĽ,	11,	тт,	щ,	MC,	יתוזי,	
		PT,	SE															

BR 9606409	A	19970930	BR 1996-6409		19960528
DE 19680509	T	19971204	DE 1996-19680509		19960528
JP 09512836	T2	19971222	JP 1996-536281		19960528
JP 2885941	B2	19990426			
AT 9609005	A	19991215	AT 1996-9005		19960528
AT 406675	В	20000725			
ES 2137886	A1	19991216	ES 1997-50006		19960528
ES 2137886	B1	20000816			
CH 691808	A	20011031	CH 1997-224		19960528
ZA 9604509	A	19961202	ZA 1996-4509		19960531
NL 1003243	C2	19961204	NL 1996-1003243		19960531
FR 2734818	A1	19961206	FR 1996-6747		19960531
FR 2734818	B1	19980710			
BE 1009520	A5	19970401	BE 1996-491		19960531
US 5750754	A	19980512	US 1996-658097		19960604
SE 9700203	A	19970124	SE 1997-203		19970124
SE 510812	C2	19990628			
FI 9700393	A	19970130	FI 1997-393		19970130
DK 9700106	A	19970401	DK 1997-106		19970130
NO 9700437	A	19970220	NO 1997-437		19970131
NO 307460	B1	20000410			
US 5728701	A	19980317	<u>US 1997-820003</u>		19970318
GR 3036640	T3	20011231	GR 2001-401498		20010918
PRIORITY APPLN. INFO.:			GB 1993-6453	A	19930329
			GB 1993-25605	Α	19931215
			<u>US 1994-218171</u>	A2	19940328
			GB 1993-6451	A	19930329
			GB 1993-25610	A	19931215
			EP 1994-910494	A3	19940328
			<u>US 1995-457538</u>	Α	19950601
			GB 1995-18188	A	19950907
			WO 1996-GB1260	W	19960528
OMITTE GOLDGE (C) .	MADDAM	127.190753			

OTHER SOURCE(S): MARPAT 127:190753

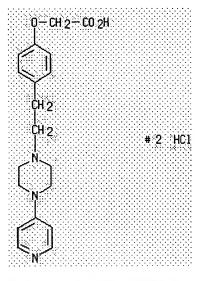


The title compds. [I; M2 = NR3 (wherein R3 = H, C1-4 alkyl), etc.; X1 = a bond, C1-4 alkylene, C2-4 alkylene, etc.; Z1, Z1a = H, OH, halo, etc.; X2 = a bond, C1-4 alkylene, C2-4 alkylene, etc.; A1 = COOH, a metabolically stable ester, amide; R13 = H, C1-4 alkyl, C1-4 alkoxy, halo] and their pharmaceutically acceptable salts, useful as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa, were prepd. and formulated. Thus, reaction of Me 4-bromoacetylphenoxyacetate with 1-(4-pyridyl)piperazine in MeCN afforded the title compd. II which showed pIC50 of 7.2 against platelet aggregation.

IT 166951-67-3P

GI

RL: BAC (Biological activity or effector, except adverse); BSU (Biological



L5 ANSWER 21 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full School Full Text Sciences
ACCESSION NUMBER:

ACCESSION NUMBER: 1997:446484 HCAPLUS

DOCUMENT NUMBER: 127:171078

TITLE: Selective endothelin A receptor ligands. 1. Discovery

and structure-activity of 2,4-disubstituted benzoic

acid derivatives

AUTHOR(S): Astles, P. C.; Brown, T. J.; Handscombe, C. M.;

Harper, M. F.; Harris, N. V.; Lewis, R. A.; Lockey, P. M.; McCarthy, C.; McLay, I. M.; Porter, B.; Roach, A.

G.; Smith, C.; Walsh, R. J. A.

CORPORATE SOURCE: Rhone Poulenc Rorer, Dagenham Research Centre,

Dagenham, RM10 7XS, UK

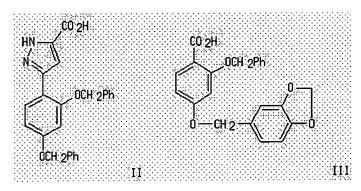
SOURCE: European Journal of Medicinal Chemistry (1997),

32(5), 409-423

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

GΙ



This paper describes the discovery of a new non-peptide endothelin A (ETA) selective ligand, 2,4-dibenzyloxybenzoic acid (I), which inhibits the binding of [125I]ET-1 to ETA receptors with an IC50 of 9 μM (ET-1 = endothelin-1). Optimization of I resulted in compd. II which had an IC50 of 1 μM . One of the analogs of I, compd. III, was examd. in a functional assay and shown to antagonize ET-1-induced contraction of rat aorta. The identification of I was made through the application of ChemDBS-3D searching of our corporate database. The 3D query, using an arom. ring to a carboxylic acid group sepd. by 10.2 ? 1.1 1, was derived from an examn. of common pharmacophoric distances found in the low energy conformations of two known ETA antagonists, the cyclic pentapeptide BQ 123 and myriceron caffeoyl ester.

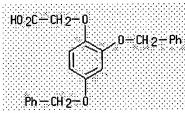
IT 170281-54-6P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(benzoic acid deriv. endothelin A receptor ligand prepn. and structure-activity relationships)

RN 170281-54-6 HCAPLUS

CN Acetic acid, [2,4-bis(phenylmethoxy)phenoxy] - (9CI) (CA INDEX NAME)



REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Called Text References

ACCESSION NUMBER:

1997:400093 HCAPLUS

DOCUMENT NUMBER:

127:17681

TITLE:

Five-membered heterocycles [thiazoles, imidazoles, and thiadiazoles], pharmaceutical agents containing them, their use as aggregation inhibitors, and methods for

their production

INVENTOR(S):

Linz, Guenter; Himmelsbach, Frank; Pieper, Helmut; Austel, Volkhard; Guth, Brian; Weisenberger, Johannes

PATENT ASSIGNEE(S):

Dr. Karl Thomae Gmbh, Germany

SOURCE:

PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE: German

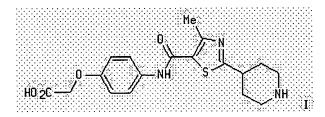
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	ENT N	ο.			KINI)	DATE			APPL	ICAT:	ION N	ю.		DP	ATE		
						-								- - -				
WO	97155	67			A1		1997	0501		WO 1	996-	EP439	0		19	9610	010	
	w:	CA,	JP,	MΧ														
	RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE
DE :	19539	091			A1		1997	0424		DE 1	995-	<u> 19539</u>	091		19	9510	20	
DE	19548	<u> 798</u>			A1		1997	0703		DE 1	995-	19548	798		19	9512	227	
EP	85845	<u>7</u>			A1		1998	0819		<u>EP 1</u>	996-	93460	<u>3</u>		19	9610	010	
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		ΙE,	FI															
JP	11513	382			T2		1999	1116		<u>JP 1</u>	996-	<u>51378</u>	16		19	9610	010	
PRIORITY	APPL	N.]	NFO.	.:						DE 1	995-	19539	091	1	A 19	9510	020	
										DE 1	995-	19548	798	7	A 19	9512	227	
										WO 1	996-	EP439	0	1	W 19	9961	010	

OTHER SOURCE(S): MARPAT 127:17681

GΙ



AB Disclosed are certain five-membered heterocycles, their tautomers, stereoisomers, mixts., and salts, having valuable pharmacol. properties, esp. cellular aggregation-inhibiting properties. Also disclosed are pharmaceutical agents contg. the compds., their use, and methods of producing them. The compds. have antiinflammatory, osteoporosis-inhibiting, antithrombotic, antiaggregatory, and tumor- and metastasis-inhibiting properties. Prepns. of approx. 100 invention compds. and 60 intermediates are described, and six std. pharmaceutical formulations are given. The example compd. I.HBr had an EC50 of 0.13 μM for inhibition of collagen-induced platelet aggregation in vitro.

IT 190515-14-1P

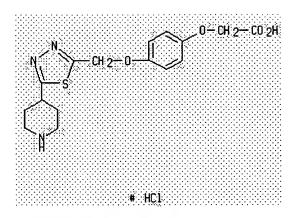
RN

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of five-membered heterocycles as aggregation inhibitors)

190515-14-1 HCAPLUS

Acetic acid, [4-[[5-(4-piperidinyl)-1,3,4-thiadiazol-2-yl]methoxy]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



L5 ANSWER 23 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Ciking Text Kalajanca

ACCESSION NUMBER: 1997:97157 HCAPLUS

DOCUMENT NUMBER: 126:157280

TITLE: Preparation of aromatic alkanoic acid and alkanol

derivatives as antithrombotics

INVENTOR(S): Hashizume, Hiroichi; Hagiwara, Masaki; Myamae,

Tetsuhisa; Ogawa, Masaji; Ppongo, Tomoko; Morikawa,

Tadanori

PATENT ASSIGNEE(S): Fuji Yakuhin Kogyo Kk, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

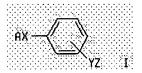
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08333287	A2	19961217	JP 1995-158813	19950602
PRIORITY APPLN. INFO.:			JP 1995-158813	19950602

OTHER SOURCE(S): MARPAT 126:157280

GΙ



AB The title compds. I [A = (un)substituted benzene, etc.; X, Y = (O- or N-contg.) alkylene; Z = amino, OH, carboxyl, aminocarbonyl, etc.] are prepd. The title compds. in vitro showed IC50 values of 0.068 to 15.3 uM against thrombin-induced platelet aggregation.

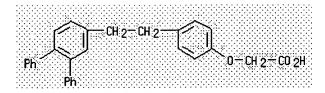
IT 185995-32-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of arom. alkanoic acid and alkanol derivs. as antithrombotics)

RN 185995-32-8 HCAPLUS

CN Acetic acid, [4-(2-[1,1':2',1''-terphenyl]-4'-ylethyl)phenoxy]- (9CI) (CP INDEX NAME)



L5 ANSWER 24 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full States
Text References
ACCESSION NUMBER:

ACCESSION NUMBER:

1997:15490 HCAPLUS

DOCUMENT NUMBER:

126:60367

TITLE:

Preparation of aryloxy- and arylthioglutamic acids as

excitatory amino acid receptor antagonists

INVENTOR(S):

Heinz, Lawrence J.; Lunn, William H. W.; Schoepp,

Darryle D.

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

U.S., 31 pp., Cont.-in-part of U.S. Ser. No.

161,830, abandoned.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
us 5576323	 A	19961119	US 1994-322632	19941013
ZA 9409405	A	19960528	ZA 1994-9405	19941128
CA 2136904	AA	19950604	CA 1994-2136904	19941129
NO 9404578	A	19950606	NO 1994-4578	19941129
AU 9479151	A1	19950608	AU 1994-79151	19941130
AU 676781	B2	19970320		
BR 9404809	A	19950801	BR 1994-4809	19941201
FI 9405704	A	19950604	FI 1994-5704	19941202
EP 658539	A1	19950621	EP 1994-308949	19941202
R: AT, BE, CH,	DE, DE	K, ES, FR,	GB, GR, IE, IT, LI,	LU, NL, PT, SE
HU 69181	A2	19950828	HU 1994-3469	19941202
CN 1108240	A	19950913	CN 1994-119360	19941202
JP 07267908	A2	19951017	JP 1994-299390	19941202
<u>US 5843997</u>	A	19981201	US 1996-626447	19960402
PRIORITY APPLN. INFO.:			<u>US 1993-161830</u>	B2 19931203
			<u>US 1994-322632</u>	A 19941013

OTHER SOURCE(S):

MARPAT 126:60367

AB Novel compds. R3pX3mX2sX1nCH(CO2R2)(CH2)rCH(NH2)CO2R1 [R1, R2 = H, protective group, R3, X2 = (un)substituted aryl or heterocyclyl group, X1 = NH2 or substituted amino, O, S, X3 = alkylene, alkenediyl, oxoalkylene, oxyalkylene, etc., m, n, s = 0, 1, p = 0-3, q = 0-6, r = 1, 2] or their pharmaceutically acceptable salts were prepd. as antagonists of excitatory amino acid receptors. Thus, Me 3-hydroxy-2-pyrrolidone-5-carboxylate was prepd. in 4 steps from cyclopentadiene and benzyl N-hydroxycarbamate and etherified with phenol and treated with LiOH in H2O-THF to afford 4-phenoxyglutamic acid. The latter at 10 μM concn. gave 88.0% displacement of 3H-glutamate binding from rat brain cell membranes. Formulation contg. the title compds. are given.

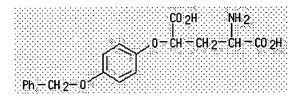
IT 170012-28-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of aryloxy- and arylthioglutamic acids as excitatory amino acid

receptor antagonists)

RN <u>170012-28-9</u> HCAPLUS

CN Glutamic acid, 4-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 25 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full CRAA Text References

INVENTOR(S):

ACCESSION NUMBER: 1996:531795 HCAPLUS

DOCUMENT NUMBER: 125:195688

TITLE: Preparation of 1-(piperazinocarbonyl)piperidine-4-

alkanoates and analogs as cell aggregation inhibitors Pieper, Helmut; Austel, Volkhard; Himmelsbach, Frank;

Linz, Guenter; Guth, Brian; Weisenberger, Johannes
PATENT ASSIGNEE(S): Dr. Karl Thomae Gmbh, Germany

SOURCE: PCT Int. Appl., 183 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

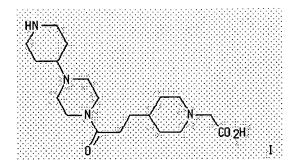
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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	WO 9620173					A1 19960704											
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	RW:						UG,										ΙE,
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	ZA 9510						1997	0623		ZA 1	995-	1095	<u>6</u>		1	9951	227
	FI 9702	646			A		1997	0819		FI 1	997-	2646			1	.9970	619
	NO 9702						1997			NO 1	997-	2881			1	.9970	620
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										DE 1	995-	1952	6678		A 1	.9950	721
										DE 1	995-	1953	<u> 3639</u>		A 1	.9950	912
										WO 1	995-	EP50	31		W 1	9951	219
OTHER	SOURCE	(S):			MAR	PAT	125:	1956	88								

OTHER SOURCE(S): MARPAT 125:195688

GΙ



AB R1ZZ1Z2Z3R2 [R1 = 3-pyrrolidinyl, 3- or 4-piperidinyl, 3- or 4-hexahydroazepinyl, etc.; R2 = OH, alkoxy, etc.; Z = (un)substituted piperazine-1,4-diyl; Z1 = CO, alkylene(carbonyl), carbonylalkyleneoxy, etc.; Z2 = cyclohexylnen, phenylene, heterocyclylene, etc.; Z3 = (alkylene)carbonyl, CH2CH(NH2)CO, carbonyliminoalkylenecarbonyl, etc.] were prepd. Thus, title compd. I.3HCl had IC50 of 0.012 and 0.094μM against BIBU 52 binding to, and collagen-induced aggregation of, platelets in vitro.

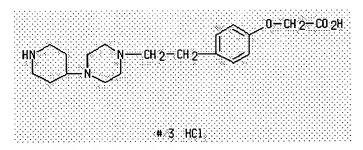
IT 180530-69-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 1-(piperazinocarbonyl)piperidine-4-alkanoates and analogs as

(prepn. of 1-(piperazinocarbonyl)piperidine-4-alkanoates and analogs as cell aggregation inhibitors)

RN <u>180530-69-2</u> HCAPLUS

CN Acetic acid, [4-[2-[4-(4-piperidinyl)-1-piperazinyl]ethyl]phenoxy]-, trihydrochloride (9CI) (CA INDEX NAME)



L5 ANSWER 26 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full ^{darg} Text References

ACCESSION NUMBER: 1996:464318 HCAPLUS

DOCUMENT NUMBER: 125:114673

TITLE: Preparation of benzyloxyphenylalkylbenzoates and related compounds as analgesics and prostaglandin

antagonists

INVENTOR(S): Breault, Gloria Ann; Oldfield, John; Tucker, Howard;

Warner, Peter

PATENT ASSIGNEE(S): Zeneca Limited, UK

SOURCE: PCT Int. Appl., 172 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO	9611	902			A1		1996	0425	WO 1995-GB2417						19951012		
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		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
		SK,	ТJ														
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		LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,	ΝE,
		SN,	TD,	TG													
ZA	9508	622			A		1996	0412		ZA 1	995-	8622			1	9951	012
AU	9536	162			A1		1996	0506		AU 1	995-	3616	2		1	9951	012
EP	7330	33			A1		1996	0925		EP 1	995-	9335	42		1	9951	012
EP	7330	33			В1		1999	1222									
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JP	0951	1529			T2		1997	1118		JP 1	995-	5130	<u> 27</u>		1	9951	012
US	5811	<u>459</u>			A		1998	0922		US 1	996-	6479	<u>77</u>		1	9960	604
PRIORITY	APP	LN.	INFO	.:						GB 1	994-	2055	7		A 1	9941	012
										WO 1	995-	GB24	<u>17</u>	,	W 1	9951	012

OTHER SOURCE(S): MARPAT 125:114673

Ortho-substituted Ph, naphthyl, and heterocyclic ethers (> 600 compds.) were prepd. for use in treating pain mediated by the E-type prostaglandins (no data). Thus, 2-PhCH2OC6H4(CH2)3C6H4CO2H-4 was prepd. from 2-HOC6H4Ac and 4-OCHC6H4CO2Me in 5 steps.

IT 179252-70-1P

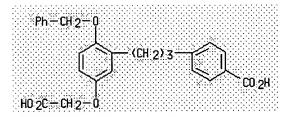
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL

(Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzyloxyphenylalkylbenzoates and related compds. as analgesics and prostaglandin antagonists)

RN <u>179252-70-1</u> HCAPLUS

CN Benzoic acid, 4-[3-[5-(carboxymethoxy)-2-(phenylmethoxy)phenyl]propyl](9CI) (CA INDEX NAME)



L5 ANSWER 27 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full 1995 Text References

ACCESSION NUMBER: 1995:994147 HCAPLUS

DOCUMENT NUMBER: 124:55567

TITLE: Preparation of substituted benzene-derivative

endothelin inhibitors

INVENTOR(S): Astles, Peter Charles; Harper, Mark Francis; Harris,

Neil Victor; McLay, Ian McFarlane; Walsh, Roger John Aitchison; Lewis, Richard Alan; Smith, Christopher;

Porter, Barry; McCarthy, Clive Rhone-Poulenc Rorer Ltd., UK

PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Ltd SOURCE: PCT Int. Appl., 197 pp.

ONCE. FOI INC. TAPAT. / IS

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

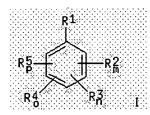
FAMILY ACC. NUM. COUNT: 1

<u>PATENT</u> INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 1994-GB2499
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    WO 9513262
                                19950518
                          A1
            AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB,
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             NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ,
        RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
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                                19950518
                                            CA 1994-2176363
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                                            AU 1994-81498
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                                19950529
    ZA 9409035
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                                19960514
                                            ZA 1994-9035
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    EP 728128
                          A1
                                19960828
                                            EP 1995-900842
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        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                                                    19941114
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    JP 09505043
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                                19981015
    AT 171158
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                                                                    19941114
                                            ES 1995-900842
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                          T3
                                19990116
                                20010403
                                            US 1997-640922
                                                                    19970627
    US 6211234
                          B1
PRIORITY APPLN. INFO.:
                                            GB 1993-23382
                                                                 A 19931112
                                                                 A 19940222
                                            GB 1994-3363
                                            GB 1994-10750
                                                                 A 19940527
                                            WO 1994-GB2499
                                                                W 19941114
OTHER SOURCE(S):
                         MARPAT 124:55567
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GΙ



The title compds. [I; R1 = H, (un)substituted hydroxyalkyl, carboxyalkyl, CN, NO2, (un)substituted alkoxy, etc.; R2 = arylalkoxy, heteroarylalkoxy, arylalkylthio, etc.; R3 = HO, alkoxy, aryloxy, etc.; R4 = (un)substituted alkyl or alkenyl; R5 = alkyl, alkenyl, halogen; m-p = 0, 1], useful as endothelin inhibitors (no data) for the treatment of diseases modulated by inhibiting endothelin (no data), are prepd. Thus, Me 2-benzyloxy-4-(4-chlorobenzyloxyl)benzoate was sapond., producing 2-benzyloxy-4-(4-chlorobenzyloxy)benzoic acid, m.p. 150-152?, in 44% yield.

IT 170281-54-6P

RN 170281-54-6 HCAPLUS

CN Acetic acid, [2,4-bis(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

ANSWER 28 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Pelene des Text

ACCESSION NUMBER:

1995:905329 HCAPLUS

DOCUMENT NUMBER:

INVENTOR(S):

123:314527

TITLE:

Preparation of aryloxyglutamates and related compounds

as excitatory amino acid receptor antagonists. Heinz, Lawrence J.; Lunn, William Henry Walker;

Schoepp, Darryle Darwin

PATENT ASSIGNEE(S):

Eli Lilly and Co., USA Eur. Pat. Appl., 52 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 658539	A1	19950621	EP 1994-308949	19941202
R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IE, IT, LI,	LU, NL, PT, SE
<u>US 5576323</u>	A	19961119	us 1994-322632	19941013
PRIORITY APPLN. INFO.:			<u>US 1993-161830</u>	A 19931203
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OMILED GOLLDON (G) .	CACDEA	cm 122.21/	1507. MADDAM 100.014	507

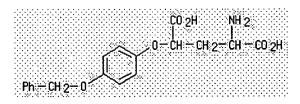
OTHER SOURCE(S): CASREACT 123:314527; MARPAT 123:314527 H2NCH(CO2R3)(CH2)rCH(CO2R4)Zn(R1)sWm(R2)p [Z = NR5, O, S; W = CH3-p, (CH2)q, CH:CHCO, (CH2)qO, NR5, O, S, SO, SO2, etc.; m, n, s = 0, 1; p = 0-3; q = 0-6; r = 1, 2; m + n + p + s ?1; R1, R2 = (substituted) aryl, heterocyclyl; R3, R4 = H, protecting group; R5 = H, alkyl, acyl, alkylsulfonyl; with provisos], were prepd. Thus, Me 3-hydroxy-2pyrrolidone-5-carboxylate (prepn. given) was treated with Ph3P, 2-naphthalenethiol, and di-Et azodicarboxylate in THF at 0? to give Me 3-(2-naphthalenethio)-2-pyrrolidone-5-carboxylate. The latter was treated with LiOH in THF/H2O to give 3-(2-naphthalenethio)glutamic acid. This at 100 µM gave 100.6% displacement of [3H]-Glu from crude rat forebrain membrane prepns.

IT 170012-28-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of aryloxyglutamates and related compds. as excitatory amino acid receptor antagonists)

170012-28-9 HCAPLUS RN

Glutamic acid, 4-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME) CN



L5 ANSWER 29 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Text ACCESSION NUMBER:

1995:810381 HCAPLUS

DOCUMENT NUMBER:

123:227994

TITLE:

Heterocyclic derivatives as platelet aggregation inhibitors

INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner,

John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney

Mills, Stuart Dennett; Caulkett, Peter William Ro

PATENT ASSIGNEE(S): Zeneca Ltd., UK

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: English FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PA	TENT	NO.			KINI)	DATE			APPL	I CAT	ION I	NO.		D	ATE		
	9422	834			A1		1994	1013		WO 1	994-	GB64	<u>7</u>			9940		
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		JP,	ΚP,	KR,	ΚZ,	LK,	LU,	LV,	MG,	MN,	MW,	NL,	NO,	NZ,	PL,	PT,	RO,	
		•		-				UΑ,										
	RW:							FR,								PT,	SE,	
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CA	2156	070			AA		1994	1013 1024		<u>CA 1</u>	994-	2156	070		1	9940	328	
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BR	9406	613			A		1996	0206		BR 1	994-	6613			1	9940	328	
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	8251				В1		2001	0620		•••								
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АТ	1686				E			0815		AT 1					1	9940	328	
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RU	2142	944			C1		1999	1220		RU 1	995-	1226	02		1	9940	328	
IL	2142 1091 2023 2159 8251	44			A1		2000	0229		RU 1 IL 1 AT 1 ES 1	994-	1091	44		1	9940	328	
AT	2023	145			E		2001	0715		AT 1	997-	1179	09		1	9940	328	
ES	2159	798			т3		2001	1016		ES 1	997-	1179	09		1	9940	328	
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VIONI			11110	• •						GB 1	993-	2560	- 5		A 1	9931	215	
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OTHER SOURCE(S): MARPAT 123:227994

N N - CH 2C - OCH 2CO 2H

AB Pyridine derivs. and metabolically labile esters and amides thereof were disclosed as pharmaceuticals. The compds. are useful as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa. A specifically claimed compd. is 4-[2-[4-(4-pyridinyl)-1-piperazinyl]acetyl]phenoxyacetic acid (I).

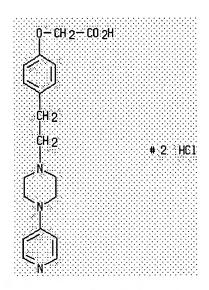
IT 166951-67-3P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of pyridine compds. platelet aggregation inhibitors)

RN 166951-67-3 HCAPLUS

Acetic acid, [4-[2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



L5 ANSWER 30 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full CHIR Text Releisences

ACCESSION NUMBER: 1995:758624 HCAPLUS

DOCUMENT NUMBER: 123:169654

TITLE: Preparation of heterocyclic compounds as platelet

aggregation inhibitors

INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner,

John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney

PATENT ASSIGNEE(S): Zeneca Ltd., UK

SOURCE: PCT Int. Appl., 236 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PAT	ENT	ΝΟ.			KIN	D -	DATE		;	APPL	ICAT:	ION I	NO.		D2	ATE	
	9422 9422		- "		A2 A3		1994 :			WO 1	994-	GB64	<u>8</u>		1	9940:	328
		AT,					BY,										
		JP,	KP,	KR,	ΚZ,	LK,	LU,	LV,	MG,	MN,	MW,	NL,	NO,	NZ,	PL,	PT,	RO,
		RU,	SD,	SE,	SI,	SK,	TT,	UA,	UZ,	VN							
	RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,

BF,	вј, с	F, CG,	CI, CM, GA,	GN, ML, MR, NE, SN,	TD, TO	3
CA 2155307		AA	19941013	CA 1994-2155307		19940328
AU 9462890		A1	19941024	AU 1994-62890		19940328
AU 692439		B2	19980611			
EP 690847		A1	19960110	EP 1994-910495		19940328
R: AT,	BE, C	H, DE,	DK, ES, FR,	GB, GR, IE, IT, LI,	LU, MO	C, NL, PT, SE
JP 08509967		Т2	19961022	JP 1994-521811		19940328
JP 3088016		B2	20000918			
US 5750754		A	19980512	US 1996-658097		19960604
PRIORITY APPLN.	INFO.:			GB 1993-6451	A	19930329
				GB 1993-25610	A	19931215
				GB 1993-6453	A	19930329
				GB 1993-25605	A	19931215
				WO 1994-GB648	W	19940328
				GB 1995-18188	A	19950907
				- 4		

OTHER SOURCE(S):

MARPAT 123:169654

GI

RN

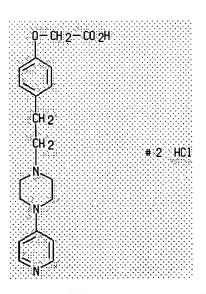
AB Title compds. [I; (M1)nQ(M2)1-nLA wherein = 0, 1; M1 = amino; Q = N-heterocyclyl; M2 = imino; L = template; A = an acidic group, or ester, amide deriv., sulfonamide] and pharmaceutically acceptable salts and pro-drugs thereof are prepd. Me 4-(bromoacetyl)phenoxyacetate in MeCN was added to 1-(4-pyridyl)piperazine in MeCN to give the title compd II. Platelet aggregation inhibition was demonstrated by I. Pharmaceutical formulations comprising I are given.

IT 166951-67-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heterocyclic compds. as platelet aggregation inhibitors)

166951-67-3 HCAPLUS

CN Acetic acid, [4-[2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]phenoxy]-, dihydrochloride (9CI) (CA INDEX NAME)



L5 ANSWER 31 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full states Text References

ACCESSION NUMBER:

1993:6872 HCAPLUS

DOCUMENT NUMBER:

118:6872

TITLE:

Preparation of N-(3-pyridylalkyl) sulfonamide

derivatives as drugs

INVENTOR(S):

Ohnishi, Hiroyuki; Miyakoshi, Masazumi; Isozaki,

Masashi; Fujitake, Masayuki; Mikami, Naoya; Yanoshita, Ryohei; Akasofu, Harue; Sugizaki, Katsuyoshi; Nakata,

Nobuyuki

PATENT ASSIGNEE(S):

SOURCE:

Terumo Corp., Japan

Eur. Pat. Appl., 47 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 501876	A1	19920902	EP 1992-400487		19920225
R: BE, CH, DE,	FR, GB	, IT, LI,	NL, SE		
JP 04270265	A2	19920925	JP 1991-114154		19910225
JP 05043546	A2	19930223	JP 1991-200650		19910809
JP 05043547	A2	19930223	JP 1991-200651		19910809
US 5374641	A	19941220	US 1992-840165		19920224
PRIORITY APPLN. INFO.:			JP 1991-114154	Α	19910225
			JP 1991-200650	Α	19910809
			JP 1991-200651	Α	19910809

OTHER SOURCE(S):

MARPAT 118:6872

GΙ

Title compds. I [X = H, HO, halo, O2N, cyano, alkyl, alkoxy; R = R1O, AB R2O2C(CH2)aO, R3O2CO, R6O2C(R5)C:C(R4), R7O2C(CH2)b wherein R1-R7 = H, alkyl; a, b 0-4; Q = 1,4-phenylene, certain divalent heterocyclyl; Z = H, alkyl, alkoxycarbonyl, PhCH2O2C, OCH; 1, m, n = 0-4] or salts thereof, useful as TxA2 prodn. inhibitors, TxA2 antagonists, prostaglandin H2 antagonists, and antithrombotic and antiallergic agents, are prepd. NCCH2P(O)(OEt)2 was added to a NaOEt-EtOH soln. followed by 4-(methoxymethoxyphenyl) 3-pyridyl ketone to give (E)- and (Z)-3-(4-methoxymethoxymethoxyphenyl)-3-(3-pyridyl) acrylonitrile, which were reduced with NaBH4 to the propionitrile; this in MePh was treated with (Me2CHCH2) AlH to give the aldehyde, to which was added Jones reagent to give the propionic acid. The latter in C6H6 was treated with N3P(O)(OPh)2 and Et3N, refluxed and treated with PhCH2OH to give the corresponding amine benzyl carbamate, to which in THF was added n-BuLi and 4-ClC6H4SO2Cl to give the sulfonamide; this in 3 steps was converted to the title compd. I (X = 4-C1, Z = H, 1 = n = 0, m = 1, Q = 1, 4-C6H4, R = EtO2CCH2O) (II).

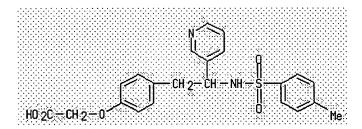
In a test for TxA2 synthesis inhibition in human platelets, the IC50 of II was 3.3~8-10~IM.

IT 144824-29-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as drug)

RN 144824-29-3 HCAPLUS

CN Acetic acid, [4-[2-[[(4-methylphenyl)sulfonyl]amino]-2-(3-pyridinyl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 32 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

117:131082

Full Beigg Text References

ACCESSION NUMBER: 1992:531082 HCAPLUS

DOCUMENT NUMBER:

TITLE: [(alkoxyphenyl)alkyl]- and

[(alkylphenyl)alkyl]pyridines and -pyridine oxides,

methods for their preparation and their use as

antiallergic agents

INVENTOR(S): Friebe, Walter Gunar; Kampe, Wolfgang; Linssen,

Marcel; Wilhelms, Otto Henning Boehringer Mannheim GmbH, Germany

PATENT ASSIGNEE(S): Boehringer Mannheim SOURCE: Ger. Offen., 12 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

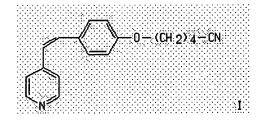
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
DE 4038335	A1 19920604	DE 1990-4038335	19901201
CA 2099603	AA 19920602	CA 1991-2099603	19911128
WO 9209598	A1 19920611	WO 1991-EP2249	19911128
W: AU, BG, B	R, CA, CS, FI, HU,	JP, KR, NO, PL, RO, SU,	US
RW: AT, BE, C	H, DE, DK, ES, FR,	GB, GR, IT, LU, NL, SE	
AU 9189574	A1 19920625	AU 1991-89574	19911128
EP 559695	A1 19930915	EP 1991-920436	19911128
EP 559695	B1 19970122		
R: AT, BE, C	H, DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE
JP 06503076	T2 19940407	JP 1992-500329	19911128
AT 148115	E 19970215	AT 1991-920436	19911128
ES 2097822	T3 19970416	ES 1991-920436	19911128
US 5399575	A 19950321	US 1993-66058	19930614
PRIORITY APPLN. INFO.:		DE 1990-4038335	A 19901201
		WO 1991-EP2249	A 19911128
	115 10	1000 10000 110 101000	

OTHER SOURCE(S): CASREACT 117:131082; MARPAT 117:131082

GI



AB Certain [(alkoxyphenyl)alkyl]pyridines, [(alkylphenyl)alkyl]pyridines, or [(alkoxyphenyl)alkyl]pyridine 1-oxides or [(alkylphenyl)alkyl]pyridine 1-oxides are claimed. A process for their prepn. comprises, e.g., the alkylation of a [(hydroxyphenyl)alkyl]pyridine 1-oxide or the phenylation of a methylpyridine 1-oxide deriv. Pharmaceuticals contg. said pyridine derivs. and their use for the treatment of allergies are claimed. Alkylation of 4-[2-(4-hydroxyphenyl)ethenyl]pyridine with bromovaleronitrile gave 5-[4-[2-(4-pyridyl)ethenyl]phenoxy]valeronitrile (I) in 86 yield. The antiallergic activity of I was not tested.

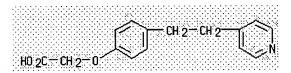
IT 143052-54-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as allergy inhibitor)

RN 143052-54-4 HCAPLUS

CN Acetic acid, [4-[2-(4-pyridinyl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 33 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Sisters
Text Regramage

ACCESSION NUMBER: 1990:178999 HCAPLUS

DOCUMENT NUMBER: 112:178999

TITLE: Morpholines and morpholine N-oxides, medicines containing these compounds and process for their

preparation

INVENTOR(S): Reiffen, Manfred; Mark, Michael; Sauter, Robert;

Grell, Wolfgang

PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.

SOURCE: Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 334146	A1	19890927	EP 1989-104376	19890313
R: AT, BE, CH, DE 3809775	DE, ES	, FR, GB, 19891005	GR, IT, LI, LU, NL, SE <u>DE 1988-3809775</u>	19880323
JP 01299287	A2	19891204 19910625	<u>JP 1989-70300</u> US 1989-327665	19890322 19890323
<u>US 5026702</u> PRIORITY APPLN. INFO.:	A	19910025	DE 1988-3809775 A	19880323
OTHER SOURCE(S):	CASREA	ст 112:178	3999; MARPAT 112:178999	

GI For diagram(s), see printed CA Issue.

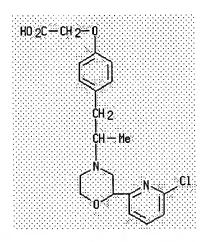
The title compds. [I; R1 = (halo-, CF3-, or alkyl-substituted) heteroaryl; R2 = H, OH; R3 = OH, CO2H, alkoxycarbonyl, carbamoyl, (substituted) alkoxy, vinyl; A = (Me- or Et-substituted) C2-3 alkylene; X = bond, O; n = 0, 1], useful as platelet aggregation inhibitors, antidiabetics, antiobesity agents, antihyperlipoproteinemics, and anabolic agents, were prepd. Thus, 2-(6-chloropyridin-2-yl)morpholine and 1-(4-carbomethoxymethoxyphenyl)propan-2-one in MeOH were stirred with HOAc and NaBH3CN to give 84% II. II at 0.3 mg/kg orally in mice reduced blood glucose by 50% and increased blood glycerin by 262%. Numerous formulations of I were given.

IT 126325-27-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as drug)

RN 126325-27-7 HCAPLUS

CN Acetic acid, [4-[2-[2-(6-chloro-2-pyridinyl)-4-morpholinyl]propyl]phenoxy](9CI) (CA INDEX NAME)



L5 ANSWER 34 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

Full staro Text Peferences

ACCESSION NUMBER: 1984:407021 HCAPLUS
DOCUMENT NUMBER: 101:7021

TITLE: Benzo[b] thiophenes

INVENTOR(S): Ong, Helen H.; Profitt, James A.

PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA

SOURCE: U.S., 36 pp. Cont.-in-part of U.S. Ser. No. 198,736,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

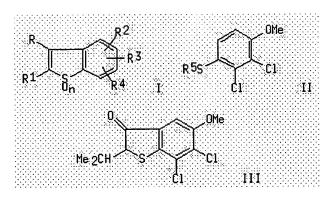
FAMILY ACC. NUM. COUNT: 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4436748 ES 506228	A A1	19840313 19830101	<u>us 1981-256470</u> Es 1981-506228	19810422 19811014
FI 8103246	A	19820421	FI 1981-3246	19811016
EP 50326 EP 50326	A2 A3	19820428 19820721	EP 1981-108387	19811016
EP 50326	B1	19860129		

R: AT,	BE, CH,	DE,	FR, GB, IT,	NL, SE	
AU 8176547		A1	19820429	AU 1981-76547	19811016
EP 155981		A2	19851002	EP 1984-108392	19811016
EP 155981		A3	19851030		
R: AT,	BE, CH,	DE,	FR, GB, IT,	LI, NL, SE	
AT 17726		E	19860215	AT 1981-108387	19811016
DK 8104606		A	19820421	DK 1981-4606	19811019
NO 8103526		A	19820421	NO 1981-3526	19811019
JP 57122080		A2	19820729	JP 1981-165895	19811019
ZA 8107216		A	19830223	ZA 1981-7216	19811019
HU 26664		0	19830928	HU 1981-3036	19811019
CA 1196923		A1	19851119	CA 1981-388259	19811019
ES 515436		A1	19840701	ES 1982-515436	19820901
ES 524980		A1	19850201	ES 1983-524980	19830816
US 4528399		A	198507.09	US 1983-558076	19831205
US 4537976		A	19850827	US 1983-558074	19831205
NO 8404042		A	19820421	NO 1984-4042	19841009
NO 8404043		A	19820421	NO 1984-4043	19841009
NO 8404957		A	19820421	NO 1984-4957	19841211
FI 8501140		A	19850321	FI 1985-1140	19850321
FI 8501141		A	19850321	FI 1985-1141	19850321
US 4672138		A	19870609	US 1986-825725	19860203
PRIORITY APPLN.	INFO.:			US 1980-198736	A2 19801020
				US 1981-256470	A 19810422
				EP 1981-108387	P 19811016
				FI 1981-3246	A 19811016
				US 1983-558079	A1 19831205

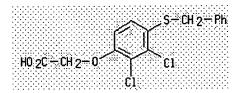
OTHER SOURCE(S):

CASREACT 101:7021



Benzothiophenes I [R = H, alkyl, cycloalkyl, (un) substituted Ph; R1 = H, AB alkanoyl, alkyl, cycloalkyl, formyl, hydroxyalkyl, (un)substituted Ph; R2 = (un) substituted alkoxy; R3, R4 = H, halo, alkyl; n = 0-2] were prepd. Thus, 2,3-Cl2C6H3OMe was chlorosulfonylated and the sulfonyl chloride reduced to give the thiophenol II (R5 = H) which was alkylated with Me2CHCHBrCO2H to give the thioether II [R2 = Me2CH(HO2C)CH]. The thioether was cyclized using SOC12-AlC13 to give benzothiophenone III. III was reduced to the alc. which was dehydrated to give I (R = H, R1 =Me2CH, R2 = 5-OMe, R3 = 6-Cl, R4 = 7-Cl, n = 0). The latter compd. was demethylated, condensed with BrCH2CO2Et, and hydrolyzed to give I (R = H, R1 = Me2CH, R2 = 5-OCH2CO2H, R3 = 6-C1, R4 = 7-C1, n = 0); IV). IV was oxidized with 3-ClC6H4C(O)OOH to give the sulfone (V). At 50 mg/kg in spontaneous hypertensive rats, IV and V decreased blood pressure by 41, 33 mm Hg, resp. At 64 mg/kg in rats V increased urine excretion 2.3-fold. IT 90340-20-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological



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(FILE 'HOME' ENTERED AT 16:08:19 ON 20 DEC 2005)

FILE 'REGISTRY' ENTERED AT 16:08:25 ON 20 DEC 2005

L1 STRUCTURE UPLOADED

L2 50 S L1

L3 1449 S L2 FULL

FILE 'HCAPLUS' ENTERED AT 16:11:25 ON 20 DEC 2005

L4 102 S L3/THU

L5 34 S L4 AND PD < JULY 2002

=> s 14 and bell, r?/au

2688 BELL, R?/AU

L6 1 L4 AND BELL, R?/AU

=> d 16, ibib abs hitstr, 1

L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

Full tire Text References

ACCESSION NUMBER: 2004:2698 HCAPLUS

DOCUMENT NUMBER: 140:59519

TITLE: Preparation of (biphenylylalkoxy) - and

[(phenylpyridyl)alkoxy]-substituted phenylalkanoic acids and phenoxyalkanoic acids as hPPAR activators for treatment of cardiovascular disease and related

disorders

INVENTOR(S): Hamlett, Christopher Charles Frederick; Bell,

Richard; Beswick, Paul John; Gosmini, Romain Luc Marie; King, Nigel Paul; Patel, Vipulkumar Kantibhai

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 158 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000315	A1	20031231	WO 2003-EP6415	20030618
W: AE, AG, AL,	AM, AT	, AU, AZ, BA	BB, BG, BR, BY, BZ,	CA, CH, CN,

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
            TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                            CA 2003-2487909
    CA 2487909
                          AΑ
                                20031231
                                                                    20030618
                                            EP 2003-738056
    EP 1513526
                          A1
                                20050316
                                                                    20030618
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                            BR 2003-11931
                                                                    20030618
    BR 2003011931
                          Α
                                20050405
                                20051117
                                            JP 2004-514761
                                                                    20030618
     JP 2005534672
                          T2
                                20050309
                                            NO 2004-5328
                                                                    20041203
    NO 2004005328
                          A
                                            GB 2002-14149
                                                                A 20020619
PRIORITY APPLN. INFO.:
                                                                W 20030618
                                            WO 2003-EP6415
                         MARPAT 140:59519
OTHER SOURCE(S):
GΙ
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$$\begin{array}{c} 0 \\ R1 \\ R2 \\ R4 \\ \end{array}$$

$$\begin{array}{c} R5 \\ R6 \\ R7 \\ R7 \\ \end{array}$$

$$\begin{array}{c} F6 \\ R7 \\ \end{array}$$

$$\begin{array}{c} F6 \\ R7 \\ \end{array}$$

Title compds. I [wherein R1 and R2 = independently H or alkyl; X = O or AΒ (CH2)n; n = 0-2; R3 R4 = independently H, alkyl, OMe, CF3, allyl, or halo; X1 = 0, S, SO2, SO, or CH2; R5 and R6 = independently H, (halo)alkyl, or alkoxyalkyl; or CR5R6 = cycloalkyl; R7 = (un)substituted Ph or 6-membered heteroaryl; and pharmaceutically acceptable salts, solvates, and hydrolyzable esters thereof] were prepd. as human peroxisome proliferator activated receptor (hPPAR) activators. For example, a mixt. of 3-(bromomethyl)-4'-(trifluoromethyl)biphenyl, Et (4-mercapto-2methylphenoxy) acetate, and polymer-supported diisopropylethylamine in DCM was stirred at room temp. overnight to give the thioether. Sapon. of the ester with aq. NaOH in THF and acidification afforded II. Compds. of the invention showed at least 50% activation of hPPAR δ relative to the pos. control at concns. of 10-7 M or less. Thus, I and their pharmaceutical compns. are useful for the treatment of hPPAR mediated conditions, such as dyslipidemia, syndrome X, heart failure, hypercholesterolemia, cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia, or anorexia nervosa (no data). IT 638215-22-2P, [[2-Methyl-4-[[[4'-(trifluoromethyl)biphenyl-3-

yl]methyl]thio]phenyl]oxy]acetic acid 638215-23-3P,

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[[2-Methyl-4-[[[4-methyl-4'-(trifluoromethyl)biphenyl-3-
yl]methyl]thio]phenyl]oxy]acetic acid 638215-25-5P,
\hbox{\tt [[2-Methyl-4-[2-[4'-(trifluoromethyl)biphenyl-3-yl]ethyl]phenyl]oxy] acetic}
acid 638215-26-6P, [[2-Methyl-4-[[[6-[4-(trifluoromethyl)phenyl]-
2-pyridinyl]methyl]thio]phenyl]oxy]acetic acid 638215-27-7p,
[[2-Methyl-4-[[1-[4'-(trifluoromethyl)biphenyl-3-
yl]ethyl]thio]phenyl]oxy]acetic acid 638215-28-8P,
[[2-Methyl-4-[[1-[4'-(trifluoromethyl)biphenyl-4-
yl]ethyl]thio]phenyl]oxy]acetic acid 638215-29-9P,
2-Methyl-2-[[2-methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-
pyridinyl]pentyl]oxy]phenyl]oxy]propanoic acid 638215-30-2P,
[[2-Methyl-4-[[1-[4'-(trifluoromethyl)biphenyl-3-
yl]pentyl]oxy]phenyl]oxy]acetic acid 638215-31-3P,
[[4-[[1-(4'-Chlorobiphenyl-3-yl)pentyl]oxy]-2-methylphenyl]oxy]acetic acid
638215-32-4P, [[2-Methyl-4-[[1-[4'-(trifluoromethyl)biphenyl-4-
yl]pentyl]oxy]phenyl]oxy]acetic acid 638215-33-5P,
\hbox{\tt [[4-[[1-(4'-Chlorobiphenyl-4-yl)pentyl]oxy]-2-methylphenyl]oxy] acetic acid}
638215-34-6P, [[2-Methyl-4-[[(1R)-1-[4'-(trifluoromethyl)biphenyl-
4-yl]pentyl]thio]phenyl]oxy]acetic acid 638215-35-7P,
[2-Methyl-4-[(1S)-1-[4'-(trifluoromethyl)biphenyl-4-
yl]pentyl]thio]phenyl]oxy]acetic acid 638215-36-8P,
[2-Methyl-4-[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-
pyridinyl]pentyl]oxy]phenyl]oxy]acetic acid 638215-37-9P,
[2-Methyl-4-[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-
pyridinyl]pentyl]oxy]phenyl]oxy]acetic acid 638215-38-0P,
[[2-Methyl-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-
pyridinyl]pentyl]thio]phenyl]oxy]acetic acid 638215-39-1P,
pyridinyl]pentyl]thio]phenyl]oxy]acetic acid 638215-40-4P,
[[2-Methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-
pyridinyl]pentyl]sulfinyl]phenyl]oxy]acetic acid 638215-41-5P,
[[2-Methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-
pyridinyl]pentyl]sulfonyl]phenyl]oxy]acetic acid 638215-43-7P,
[[2-Methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-
pyridinyl]butyl]oxy]phenyl]oxy]acetic acid 638215-45-9P,
\hbox{\tt [[4-[[1-[6-[4-(Trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenyl]oxy]a}
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pyridinyl]pentyl]oxy]-2-methylphenyl]oxy]acetic acid 638215-52-8P
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pyridinyl]hexyl]oxy]phenyl]oxy]acetic acid 638215-58-4P,
\hbox{\tt [[2-Methyl-4-[[4-methyl-1-[6-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-methyl-4-[4-methyl-1-[6-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(trifluoromethyl)phenyl]-2-[4-(
pyridinyl]pentyl]oxy]phenyl]oxy]acetic acid 638215-59-5P,
[2-Methyl-4-[3-methyl-1-[6-[4-(trifluoromethyl)phenyl]-2-
pyridinyl]butyl]oxy]phenyl]oxy]acetic acid 638215-60-8P,
[[4-[[1-(Biphenyl-3-yl)pentyl]oxy]-2-methylphenyl]oxy]acetic acid
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Cyanobiphenyl-3-yl)pentyl]oxy]-2-methylphenyl]oxy]acetic acid
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ethylphenyl]oxy]acetic acid 638215-65-3P, [[2-Ethyl-4-[[1-[6-[4-
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\label{eq:conditional} \hbox{\tt [[4-[[(1S)-1-[6-(4-Acetylphenyl)-2-pyridinyl]pentyl]oxy]-2-pyridinyl]pentyl]oxy]-2-pyridinyl]}
methylphenyl]oxy]acetic acid \underline{638215-78-8}P, [[4-[[(1S)-1-[6-[4-
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pyridinyl]propyl]oxy]phenyl]oxy]acetic acid 638215-80-2P,
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methylphenyl]oxy]acetic acid \underline{638215-81-3P}, [[2-Methyl-4-[[(1S)-3-
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pyridinyl]propyl]oxy]phenyl]oxy]acetic acid 638215-82-4P,
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Cyanophenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic
acid \underline{638215-87-9}P, [[4-[[(1R)-1-[6-(4-Chlorophenyl)-2-pyridinyl]-
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, [[4-[[(1S)-2-(Ethyloxy)-1-[6-[4-(methyloxy)phenyl]-2-
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, [[4-[[(1S)-1-[6-(4-Acetylphenyl)-2-pyridinyl]-2-(ethyloxy)ethyl]oxy]-2-
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acid \underline{638215-92-6P}, [[4-[[(1S)-1-[6-(4-Chlorophenyl)-2-pyridinyl]-
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, [[4-[[(1R)-2-(Ethyloxy)-1-[6-(3-fluoro-4-methylphenyl)-2-
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methylphenyl]oxy]acetic acid \underline{638215-95-9}P, [[4-[[(1R)-2-
 (Ethyloxy)-1-[6-[4-(1-methylethyl)phenyl]-2-pyridinyl]ethyl]oxy]-2-
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methylphenyl]oxy]acetic acid 638215-99-3P, [[4-[[(1R)-2-
(Ethyloxy) -1-[6-(4-fluorophenyl)-2-pyridinyl]ethyl]oxy]-2-
methylphenyl]oxy]acetic acid 638216-00-9P,
pyridinyl]ethyl]oxy]-2-methylphenyl]oxy]acetic acid 638216-01-0P
[[4-[[(1R)-1-[6-(4-Chloro-3-methylphenyl)-2-pyridinyl]-2-]
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, [[4-[[(1R)-1-[6-(3-Chloro-4-cyanophenyl)-2-pyridinyl]-2-
(ethyloxy)ethyl]oxy]-2-methylphenyl]oxy]acetic acid <a href="mailto:638216-03-2P">638216-03-2P</a>
, [[4-[[(1R)-1-[6-(4-Cyano-3-methylphenyl)-2-pyridinyl]-2-
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, [[4-[[(1R)-1-[6-(4-Cyano-2-fluorophenyl)-2-pyridinyl]-2-
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, [[4-[[(1R)-1-[6-(4-Cyano-2-methylphenyl)-2-pyridinyl]-2-
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, [4-[(1s)-2-(Ethyloxy)-1-[6-(3-fluoro-4-methylphenyl)-2-
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638216-59-8P, [[4-[[1-(4'-Chloro-2-methylbiphenyl-3-yl)pentyl]oxy]-
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acid 638216-61-2P, [[4-[[1-(4'-Cyano-2-methylbiphenyl-3-
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 [[2-Methyl-4-[[1-[2-methyl-4'-(methyloxy)biphenyl-3-
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     [[4-[[2-(Ethyloxy)-1-[6-[4-(trifluoromethyl)phenyl]-2-
     pyridinyl]ethyl]thio]-2-methylphenyl]oxy]acetic acid
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (hPPAR activator; prepn. of (aryloxy)phenylalkanoic acids and
        (aryloxy)phenoxyalkanoic acids as hPPAR activators for treatment of
        cardiovascular disease and related disorders)
RN
     638215-22-2 HCAPLUS
     Acetic acid, [2-methyl-4-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-
CN
     yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)
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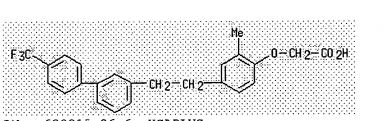
RN <u>638215-23-3</u> HCAPLUS CN Acetic acid, [2-meth

Acetic acid, [2-methyl-4-[[[4-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

F 3C
$$CH_2-S$$
 $O=CH_2-CO_2H$ Me

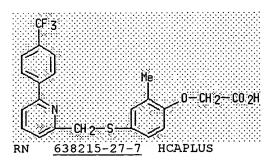
RN 638215-25-5 HCAPLUS

CN Acetic acid, [2-methyl-4-[2-[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



RN <u>638215-26-6</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



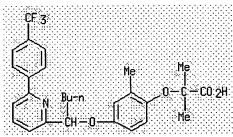
CN Acetic acid, [2-methyl-4-[[1-[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-28-8 HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-29-9 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



RN <u>638215-30-2</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-31-3 HCAPLUS

CN Acetic acid, [4-[[1-(4'-chloro[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

$$C1$$
 $O = CH_{2} = CO_{2}H$ $O = CH_{2} = CO_{2}H$

RN <u>638215-32-4</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-

yl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-33-5 HCAPLUS

CN Acetic acid, [4-[[1-(4'-chloro[1,1'-biphenyl]-4-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638215-34-6 HCAPLUS

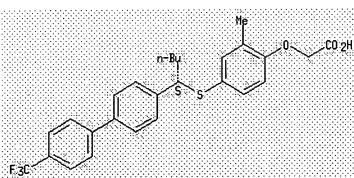
CN Acetic acid, [2-methyl-4-[[(1R)-1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]pentyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN <u>638215-35-7</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[(1S)-1-[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]pentyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638215-36-8 HCAPLUS

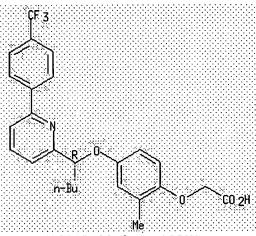
CN Acetic acid, [2-methyl-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN <u>638215-37-9</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

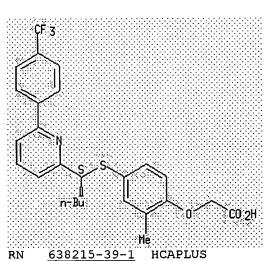
Absolute stereochemistry.



RN <u>638215-38-0</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[(1S)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

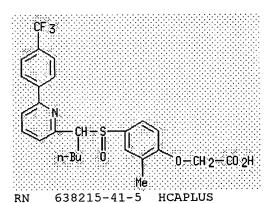
Absolute stereochemistry.



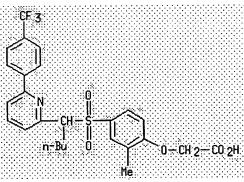
CN Acetic acid, [2-methyl-4-[[(1R)-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638215-40-4 HCAPLUS
CN Acetic acid, [2-methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]sulfinyl]phenoxy]- (9CI) (CA INDEX NAME)



CN Acetic acid, [2-methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 638215-43-7 HCAPLUS
CN Acetic acid, [2-methyl-4-[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]butoxy]phenoxy]- (9CI) (CA INDEX NAME)

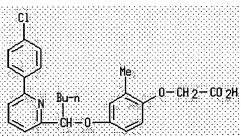
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RN **HCAPLUS**

CN Acetic acid, [4-[[1-[6-[4-(trifluoromethyl)phenyl]-2pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

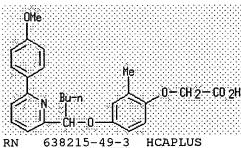
HCAPLUS 638215-47-1 RN

Acetic acid, [4-[[1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-CN methylphenoxy] - (9CI) (CA INDEX NAME)



HCAPLUS RN 638215-48-2

Acetic acid, [4-[[1-[6-(4-methoxyphenyl)-2-pyridinyl]pentyl]oxy]-2-CN (CA INDEX NAME) methylphenoxy] - (9CI)



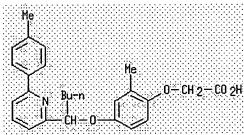
638215-49-3 **HCAPLUS**

Acetic acid, [4-[[1-[6-(4-ethoxyphenyl)-2-pyridinyl]pentyl]oxy]-2-CN methylphenoxy] - (9CI) (CA INDEX NAME)

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0=CH 2=C0 2H
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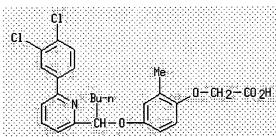
RN <u>638215-50-6</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[6-(4-methylphenyl)-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



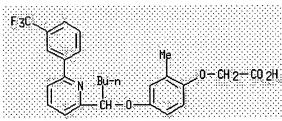
RN <u>638215-51-7</u> HCAPLUS

CN Acetic acid, [4-[[1-[6-(3,4-dichlorophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RN 638215-52-8 HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[6-[3-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 638215-53-9 HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-(6-phenyl-2-pyridinyl)pentyl]oxy]phenoxy](9CI) (CA INDEX NAME)

RN <u>638215-54-0</u> HCAPLUS

CN Acetic acid, [4-[[1-[6-(4-acetylphenyl)-2-pyridinyl]pentyl]oxy]-2-

methylphenoxy] - (9CI) (CA INDEX NAME)

```
Ac

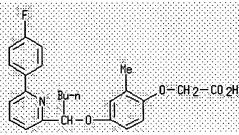
Me

0-CH2-C0 2H

CH-0-CH2-C0 2H
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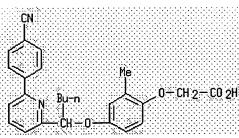
RN 638215-55-1 HCAPLUS

CN Acetic acid, [4-[[1-[6-(4-fluorophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



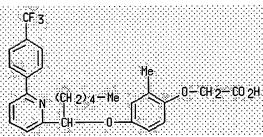
RN <u>638215-56-2</u> HCAPLUS

CN Acetic acid, [4-[[1-[6-(4-cyanophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RN <u>638215-57-3</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]hexyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

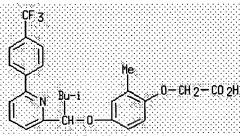


RN 638215-58-4 HCAPLUS

CN Acetic acid, [2-methyl-4-[[4-methyl-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>638215-59-5</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[3-methyl-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]butoxy]phenoxy]- (9CI) (CA INDEX NAME)

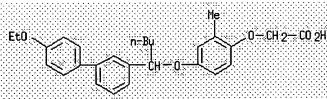


RN 638215-60-8 HCAPLUS

CN Acetic acid, [4-[(1-[1,1'-biphenyl]-3-ylpentyl)oxy]-2-methylphenoxy](9CI) (CA INDEX NAME)

RN 638215-61-9 HCAPLUS

CN Acetic acid, [4-[[1-(4'-ethoxy[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RN 638215-62-0 HCAPLUS

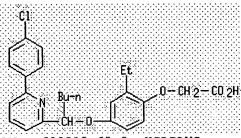
CN Acetic acid, [4-[[1-(4'-cyano[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638215-63-1 HCAPLUS

CN Acetic acid, [2-ethyl-4-[[1-(6-phenyl-2-pyridinyl)pentyl]oxy]phenoxy](9CI) (CA INDEX NAME)

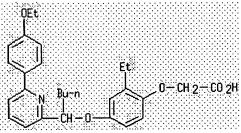
RN <u>638215-64-2</u> HCAPLUS

CN Acetic acid, [4-[[1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-ethylphenoxy]- (9CI) (CA INDEX NAME)



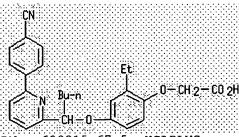
RN <u>638215-65-3</u> HCAPLUS

CN Acetic acid, [4-[[1-[6-(4-ethoxyphenyl)-2-pyridinyl]pentyl]oxy]-2-ethylphenoxy]- (9CI) (CA INDEX NAME)



RN 638215-66-4 HCAPLUS

CN Acetic acid, [4-[[1-[6-(4-cyanophenyl)-2-pyridinyl]pentyl]oxy]-2-ethylphenoxy]- (9CI) (CA INDEX NAME)



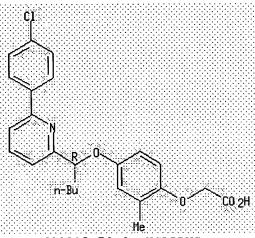
RN 638215-67-5 HCAPLUS

CN Acetic acid, [2-ethyl-4-[[1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 638215-69-7 HCAPLUS

CN Acetic acid, [4-[[(1R)-1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

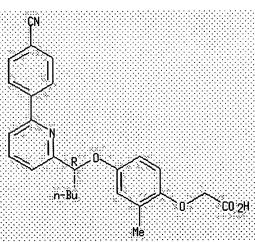
Absolute stereochemistry.



RN <u>638215-70-0</u> HCAPLUS

CN Acetic acid, [4-[[(1R)-1-[6-(4-cyanophenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



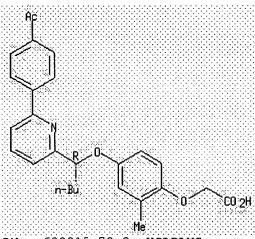
RN 638215-71-1 HCAPLUS

CN Acetic acid, [4-[[(1R)-1-[6-(4-methoxyphenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>638215-72-2</u> HCAPLUS

CN Acetic acid, [4-[[(1R)-1-[6-(4-acetylphenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

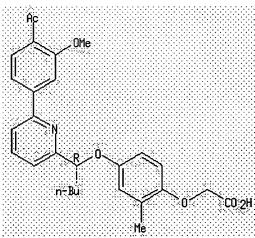
Absolute stereochemistry.



RN 638215-73-3 HCAPLUS

CN Acetic acid, [4-[[(1R)-1-[6-(4-acetyl-3-methoxyphenyl)-2-pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638215-74-4 HCAPLUS

CN Acetic acid, [4-[[(1S)-1-[6-(4-chlorophenyl)-2-pyridinyl]pentyl]oxy]-2-

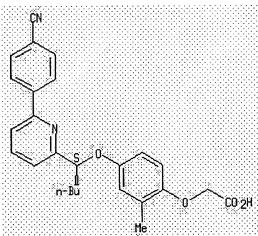
methylphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN CN

 $\frac{638215-75-5}{\text{Acetic acid, } [4-[[(1S)-1-[6-(4-cyanophenyl)-2-pyridinyl]pentyl]oxy}]-2$ methylphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



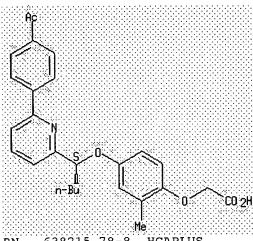
RN 638215-76-6 HCAPLUS

Acetic acid, [4-[[(1S)-1-[6-(4-methoxyphenyl)-2-pyridinyl]pentyl]oxy]-2-CNmethylphenoxy] - (9CI) (CA INDEX NAME)

638215-77-7 HCAPLUS RN

Acetic acid, [4-[[(1S)-1-[6-(4-acetylphenyl)-2-pyridinyl]pentyl]oxy]-2-CN methylphenoxy] - (9CI) (CA INDEX NAME)

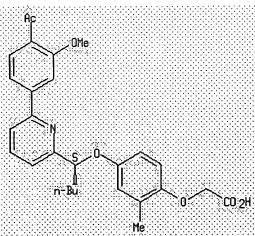
Absolute stereochemistry.



RN

638215-78-8 HCAPLUS Acetic acid, [4-[[(1S)-1-[6-(4-acetyl-3-methoxyphenyl)-2-CN pyridinyl]pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



638215-79-9 HCAPLUS RN

Acetic acid, [4-[(1R)-3-methoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-CN

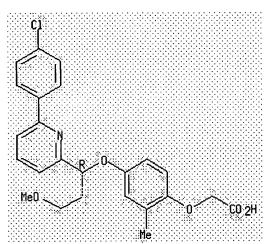
pyridinyl]propoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN <u>638215-80-2</u> HCAPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-chlorophenyl)-2-pyridinyl]-3-methoxypropoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



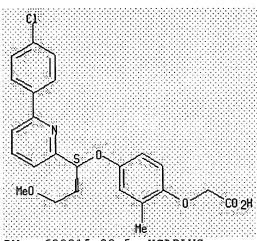
RN 638215-81-3 HCAPLUS

CN Acetic acid, [4-[(1S)-3-methoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]propoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

638215-82-4 HCAPLUS RN

Acetic acid, [4-[(1S)-1-[6-(4-chlorophenyl)-2-pyridinyl]-3-methoxypropoxy]-CN 2-methylphenoxy]- (9CI) (CA INDEX NAME)

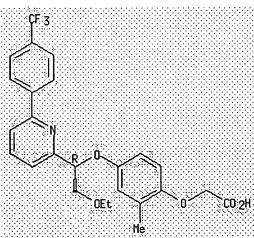
Absolute stereochemistry.



RN

638215-83-5 HCAPLUS Acetic acid, [4-[(1R)-2-ethoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-CN pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



638215-84-6 HCAPLUS RN

Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(4-methoxyphenyl)-2-pyridinyl]ethoxy]-CN

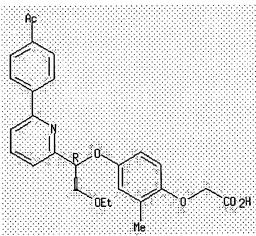
2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

 $\frac{638215-85-7}{\text{Acetic acid, } [4-[(1R)-1-[6-(4-acetylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-}$ CN methylphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



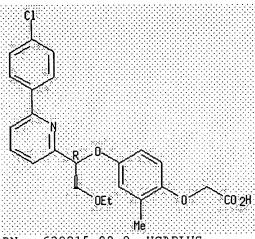
638215-86-8 HCAPLUS RN

Acetic acid, [4-[(1R)-1-[6-(4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-CN (CA INDEX NAME) methylphenoxy] - (9CI)

RN 638215-87-9 HCAPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-chlorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

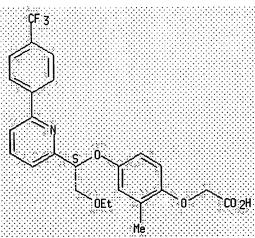
Absolute stereochemistry.



RN <u>638215-88-0</u> HCAPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN <u>638215-89-1</u> HCAPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(4-methoxyphenyl)-2-pyridinyl]ethoxy]-

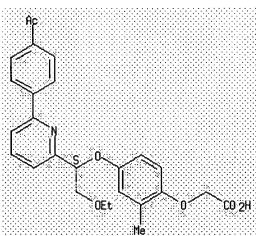
2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN <u>638215-90-4</u> HCAPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-acetylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



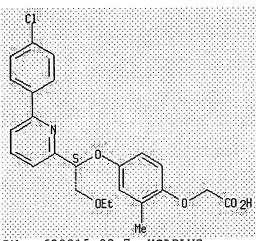
RN 638215-91-5 HCAPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>638215-92-6</u> HCAPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-chlorophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

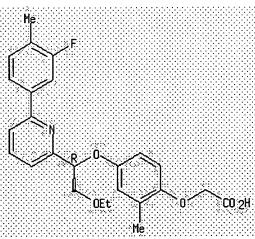
Absolute stereochemistry.



RN <u>638215-93-7</u> HCAPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(3-fluoro-4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638215-94-8 HCAPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(4-methylphenyl)-2-pyridinyl]ethoxy]-2-

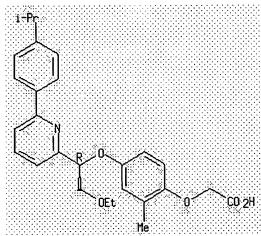
methylphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

638215-95-9 HCAPLUS Acetic acid, [4-[(1R)-2-ethoxy-1-[6-[4-(1-methylethyl)phenyl]-2pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



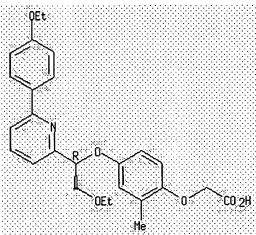
638215-96-0 HCAPLUS RN

Acetic acid, [4-[(1R)-1-[6-(4-cyano-3-fluorophenyl)-2-pyridinyl]-2-CN ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>638215-97-1</u> HCAPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(4-ethoxyphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

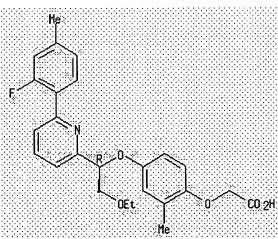
Absolute stereochemistry.



RN <u>638215-98-2</u> HCAPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(2-fluoro-4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638215-99-3 HCAPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(4-fluorophenyl)-2-pyridinyl]ethoxy]-2-

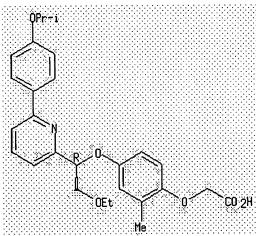
methylphenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN <u>638216-00-9</u> HCAPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-[4-(1-methylethoxy)phenyl]-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



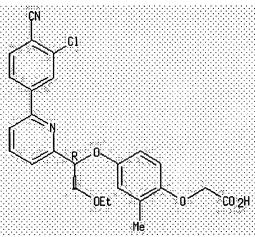
RN <u>638216-01-0</u> HCAPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-chloro-3-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638216-02-1 HCAPLUS

CN Acetic acid, [4-[(1R)-1-[6-(3-chloro-4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

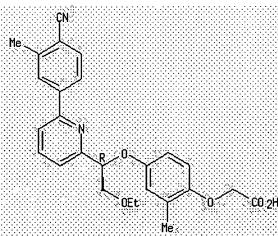
Absolute stereochemistry.



RN <u>638216-03-2</u> HCAPLUS

CN Acetic acid, [4-[(1R)-1-[6-(4-cyano-3-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN <u>638216-04-3</u> HCAPLUS

CN Acetic acid, [4-[(1R)-2-ethoxy-1-[6-(3-fluoro-4-methoxyphenyl)-2-

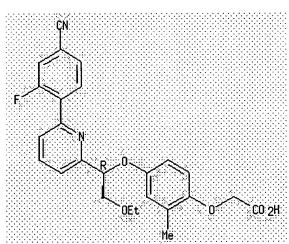
pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

638216-05-4 HCAPLUS Acetic acid, [4-[(1R)-1-[6-(4-cyano-2-fluorophenyl)-2-pyridinyl]-2-CN ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



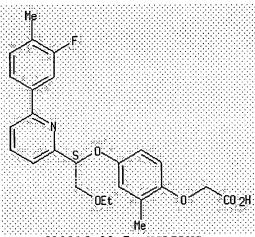
RN 638216-06-5 HCAPLUS

Acetic acid, [4-[(1R)-1-[6-(4-cyano-2-methylphenyl)-2-pyridinyl]-2-methylphenyl)CN ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>638216-07-6</u> HCAPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(3-fluoro-4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

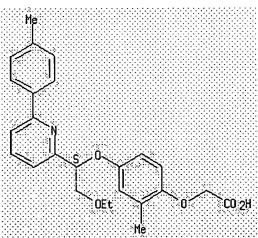
Absolute stereochemistry.



RN <u>638216-08-7</u> HCAPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638216-09-8 HCAPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-[4-(1-methylethyl)phenyl]-2-

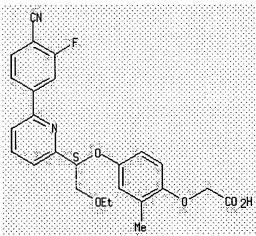
pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

638216-10-1 HCAPLUS Acetic acid, [4-[(1S)-1-[6-(4-cyano-3-fluorophenyl)-2-pyridinyl]-2-CN ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



638216-11-2 HCAPLUS RN

Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(4-ethoxyphenyl)-2-pyridinyl]ethoxy]-2-CN methylphenoxy] - (9CI) (CA INDEX NAME)

RN 638216-12-3 HCAPLUS

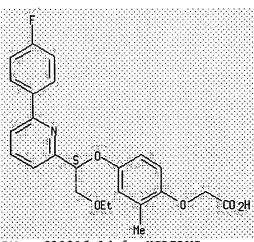
CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(2-fluoro-4-methylphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-13-4 HCAPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(4-fluorophenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 638216-14-5 HCAPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-[4-(1-methylethoxy)phenyl]-2-

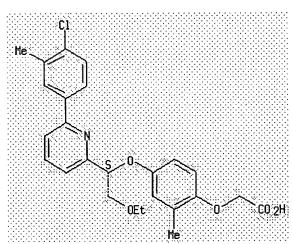
pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN <u>638216-15-6</u> HCAPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-chloro-3-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



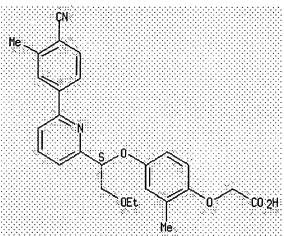
RN <u>638216-16-7</u> HCAPLUS

CN Acetic acid, [4-[(1S)-1-[6-(3-chloro-4-cyanophenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>638216-17-8</u> HCAPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-3-methylphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

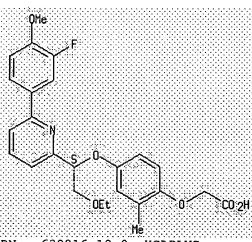
Absolute stereochemistry.



RN <u>638216-18-9</u> HCAPLUS

CN Acetic acid, [4-[(1S)-2-ethoxy-1-[6-(3-fluoro-4-methoxyphenyl)-2-pyridinyl]ethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN <u>638216-19-0</u> HCAPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-2-fluorophenyl)-2-pyridinyl]-2-

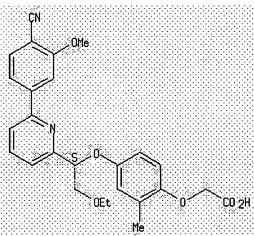
ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 638216-20-3 HCAPLUS

CN Acetic acid, [4-[(1S)-1-[6-(4-cyano-3-methoxyphenyl)-2-pyridinyl]-2-ethoxyethoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN <u>638216-58-7</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[1-[2-methyl-4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>638216-59-8</u> HCAPLUS

CN Acetic acid, [4-[[1-(4'-chloro-2-methyl[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638216-60-1 HCAPLUS

CN Acetic acid, [4-[[1-(2,4'-dimethyl[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 638216-61-2 HCAPLUS

CN Acetic acid, [4-[[1-(4'-cyano-2-methyl[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

NC
$$Me = n + By$$
 $O = CH_2 - CO_2H$

RN <u>638216-62-3</u> HCAPLUS

CN Acetic acid, [4-[[1-(4'-methoxy-2-methyl[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>638216-63-4</u> HCAPLUS

CN Acetic acid, [4-[[1-(4'-fluoro-2-methyl[1,1'-biphenyl]-3-yl)pentyl]oxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

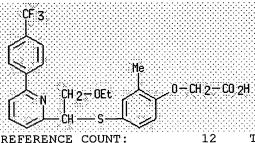
RN 638216-64-5 HCAPLUS

CN Acetic acid, [2-methyl-4-[2-propoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-pyridinyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

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0-CH2-CO2H
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RN 638216-65-6 HCAPLUS

Acetic acid, [4-[[2-ethoxy-1-[6-[4-(trifluoromethyl)phenyl]-2-CN pyridinyl]ethyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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2 L7 AND BESWICK, P?/AU L8

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ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN L8



2002:888731 HCAPLUS

DOCUMENT NUMBER: 137:384743

TITLE: Preparation of furan and thiophene derivatives that

activate human peroxisome proliferator activated

receptors

INVENTOR(S): Beswick, Paul John; Hamlett, Christopher Charles

Frederick; Patel, Vipulkumar; Sierra, Michael

Lawrence; Ramsden, Nigel Grahame

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 141 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
WO	WO 2002092590				A1 2002112			1121	WO 2002-GB2152					20020509				
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
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				•						<u>WO 2</u>	<u>002-</u>	GB21	<u>52</u>	\	W 2	0020	509	

OTHER SOURCE(S): MARPAT 137:384743

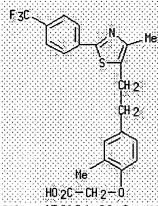
GI

AB The title compds. [I; X1 = O, S, NH, NMe, alkyl; R1, R2 = H, alkyl; R3-R5 = H, Me, OMe, CF3, halo; m = 0-3; X2 = (CR10R11)n, O, S, OCH2; n = 1-2; R6, R7, R10, R11 = H, F, alkyl, etc.; one of Y and Z = CH, the other = S, O with the proviso that Y cannot be substituted and Z can only be substituted when it is carbon; R8 = (un)substituted Ph, pyridyl (wherein the N is in position 2 or 3) with the provision that when R3 = pyridyl, the N is unsubstituted; R9 = alkyl, CF3, CH2D (D = N-substituted piperazino, furyl, piperidino, etc.); R26, R27 = H, alkyl; or R26 and R27, together with the carbon atom to which they are bonded form a 3-5 membered cycloalkyl ring] and their pharmaceutically acceptable salts, useful for the treatment of a KPPAR mediated disease or condition such as dyslipidemia, syndrome X, heart failure, hypercholesteremia, cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia, inflammation and anorexia nervosa, were prepd. Thus, coupling {5-[4-(trilfuoromethyl)phenyl]-3-furyl}methanol with Et (4-mercapto-2-methylphenoxy)acetate followed by hydrolysis of the resulting ester afforded the acid II.

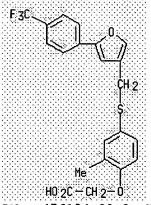
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     476156-52-2P 476156-53-3P 476156-54-4P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of furan and thiophene derivs. that activate human peroxisome
        proliferator activated receptors)
     439135-02-1 HCAPLUS
RN
CN
    Acetic acid, [2-methyl-4-[2-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-
     thiazolyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)
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RN 476154-08-2 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



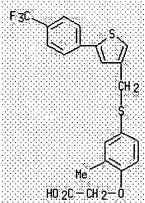
RN 476154-09-3 HCAPLUS
CN Acetic acid, [2-methyl-4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-10-6</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-11-7</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[5-[4-(trifluoromethyl)phenyl]-3-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



RN <u>476154-12-8</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-13-9 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

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F 3C 0—CH 2—CO 2H
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RN 476154-14-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-15-1 HCAPLUS

CN Acetic acid, [4-[[[5-(4-chlorophenyl)-2-(trifluoromethyl)-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-16-2</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-(trifluoromethyl)-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-17-3 HCAPLUS

CN Acetic acid, [4-[[[5-(4-chlorophenyl)-2-methyl-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-18-4</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[3-methyl-5-[5-(trifluoromethyl)-2-pyridinyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-19-5 HCAPLUS

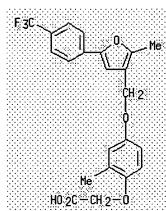
CN Acetic acid, [4-[[5-(4-chlorophenyl)-2-methyl-3-furanyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-20-8</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[2-(trifluoromethyl)-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-21-9</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN

476154-22-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-23-1 HCAPLUS

CN Acetic acid, [2-methyl-4-[[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-furanyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-24-2 HCAPLUS

CN Acetic acid, [4-[[5-(4-chlorophenyl)-2-(trifluoromethyl)-3-furanyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-25-3</u> HCAPLUS

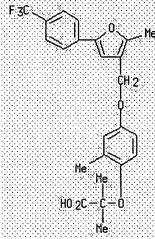
CN Acetic acid, [2-methyl-4-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-26-4 HCAPLUS

CN Propanoic acid, 2-[4-[[5-(4-chlorophenyl)-2-methyl-3-furanyl]methoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN <u>476154-27-5</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN <u>476154-28-6</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[2-(trifluoromethyl)-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

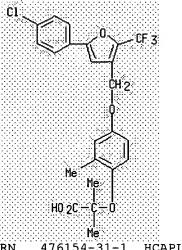
476154-29-7 HCAPLUS RN

Propanoic acid, 2-methyl-2-[2-methyl-4-[[3-methyl-5-[4-CN (trifluoromethyl)phenyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-30-0 HCAPLUS

CN

Propanoic acid, 2-[4-[[5-(4-chlorophenyl)-2-(trifluoromethyl)-3furanyl]methoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



476154-31-1 **HCAPLUS** RN

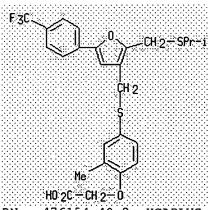
CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-38-8</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-[2-(4-pyridinyl)ethyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

RN <u>476154-39-9</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-[[(1-methylethyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



RN <u>476154-40-2</u> HCAPLUS

CN Acetic acid, [4-[[[2-[[(1H-benzimidazol-2-ylmethyl)thio]methyl]-5-[4-

(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI)
(CA INDEX NAME)

RN <u>476154-41-3</u> HCAPLUS

CN Acetic acid, [4-[[[2-[[(3,5-dimethylphenyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 476154-42-4 HCAPLUS

CN

Acetic acid, [4-[[[2-[[(2,4-difluorophenyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]-(9CI)(CA INDEX NAME)

RN 476154-43-5 HCAPLUS

CN Acetic acid, [4-[[[2-[[(2-furanylmethyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-44-6</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-[[(phenylmethyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-45-7</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-[[methyl(1-methylethyl)amino]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-46-8</u> HCAPLUS

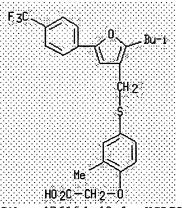
CN Acetic acid, [2-methyl-4-[[[2-(phenoxymethyl)-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

476154-47-9 HCAPLUS RN

Acetic acid, [2-methyl-4-[[[2-[(methylphenylamino)methyl]-5-[4-CN (trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN

476154-48-0 HCAPLUS Acetic acid, [2-methyl-4-[[[2-(2-methylpropyl)-5-[4-CN (trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



476154-49-1 HCAPLUS RN

Acetic acid, [2-methyl-4-[[[2-[2-(4-methylphenyl)ethyl]-5-[4-CN (trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-50-4 **HCAPLUS**

Acetic acid, [2-methyl-4-[[[2-(3-methylbutyl)-5-[4-CN (trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

476154-51-5 HCAPLUS RN

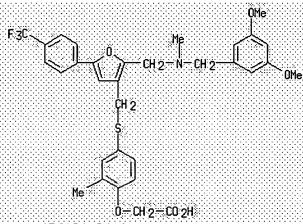
CN Acetic acid, [2-methyl-4-[[[2-[[methyl(2-phenylethyl)amino]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

476154-52-6 HCAPLUS

Acetic acid, [2-methyl-4-[[[2-[[methyl(3-pyridinylmethyl)amino]methyl]-5-CN [4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-53-7</u> HCAPLUS

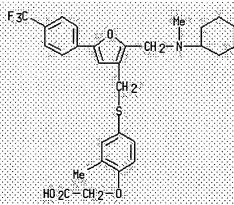
CN Acetic acid, [4-[[[2-[[[(3,5-dimethoxyphenyl)methyl]methylamino]methyl]-5[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI)
(CA INDEX NAME)



RN <u>476154-54-8</u> HCAPLUS

CN

Acetic acid, [4-[[[2-[(cyclohexylmethylamino)methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RN <u>476154-55-9</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-[[(1-methylethyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-56-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-(phenoxymethyl)-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-57-1 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-[[(phenylmethyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-58-2 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-[[4-(trifluoromethyl)phenoxy]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-59-3 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-[[4-(2-phenylethyl)phenoxy]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-60-6 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-[[(4'-methyl[1,1'-biphenyl]-4-yl)oxy]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

F:3C:
$$O = CH'2 = CO 2H$$

RN 476154-61-7 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-[(methylphenylamino)methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-62-8</u> HCAPLUS

CN Acetic acid, [4-[[[3-ethyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

```
F 3C ______S___CH 2 = S _____ 0 = CH 2 = CO 2H
```

RN 476154-64-0 HCAPLUS

CN Acetic acid, [4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-65-1</u> HCAPLUS

CN Acetic acid, [4-[[[5-(4-chlorophenyl)-2-methyl-3-furanyl]methyl]thio]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-66-2 HCAPLUS

CN Acetic acid, [4-[2-[5-(4-chlorophenyl)-2-methyl-3-furanyl]ethyl]-2-methylphenoxy]-, compd. with hydrochloric acid (1:1) (9CI) (CA INDEX NAME)

RN 476154-67-3 HCAPLUS

CN Acetic acid, [2-methyl-4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-68-4 HCAPLUS

CN Acetic acid, [2-methyl-4-[2-[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-69-5 HCAPLUS

CN Acetic acid, [4-[2-[5-(4-chlorophenyl)-2-(trifluoromethyl)-3-furanyl]ethyl]-2-methylphenoxy]-, sodium salt (9CI) (CA INDEX NAME)

Na

RN <u>476154-71-9</u> HCAPLUS

CN Acetic acid, [2-(1,1-dimethylethyl)-6-methyl-4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-72-0 HCAPLUS

CN Acetic acid, [2,6-dimethyl-4-[2-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-75-3 HCAPLUS

CN Acetic acid, [2-methyl-4-[[3-methyl-5-[4-(trifluoromethoxy)phenyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-78-6 HCAPLUS

CN Acetic acid, [2-methyl-4-[[3-methyl-5-[6-(trifluoromethyl)-3-pyridinyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-79-7 HCAPLUS

CN Acetic acid, [4-[(5-(5-chloro-2-pyridinyl)-3-methyl-2-thienyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

CI
$$0$$
 CH 2 CO 2 H

RN 476154-80-0 HCAPLUS

CN Acetic acid, [4-[2-[5-(4-cyano-3-fluorophenyl)-3-methyl-2-thienyl]ethyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-83-3</u> HCAPLUS

CN Acetic acid, [2-ethyl-4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-84-4</u> HCAPLUS

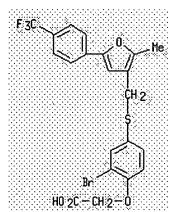
CN Acetic acid, [2-(1-methylethyl)-4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-85-5</u> HCAPLUS

CN Acetic acid, [2-chloro-4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476154-86-6</u> HCAPLUS

CN Acetic acid, [2-bromo-4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



RN <u>476154-88-8</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-methyl-5-[4-(trifluoromethoxy)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 476154-90-2 HCAPLUS

CN Acetic acid, [4-[[5-[2,5-difluoro-4-(trifluoromethyl)phenyl]-3-methyl-2-thienyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 476154-92-4 HCAPLUS

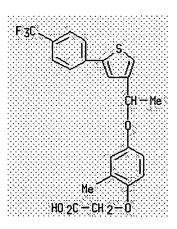
CN Acetic acid, [4-[[5-[2,3-difluoro-4-(trifluoromethyl)phenyl]-3-methyl-2-thienyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 476154-94-6 HCAPLUS

CN Acetic acid, [4-[[5-[2-fluoro-4-(trifluoromethyl)phenyl]-3-methyl-2-thienyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 476154-96-8 HCAPLUS

CN Acetic acid, [2-methyl-4-[1-[5-[4-(trifluoromethyl)phenyl]-3-thienyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 476154-98-0 HCAPLUS
CN Acetic acid, [2-methy

Acetic acid, [2-methyl-4-[phenyl[5-[4-(trifluoromethyl)phenyl]-3-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 476155-00-7 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[phenyl[5-[4-(trifluoromethyl)phenyl]-3-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 476155-02-9 HCAPLUS

CN Acetic acid, [2-methyl-4-[1-[3-methyl-5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476155-09-6</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[3-[[(1-methylethyl)thio]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476155-10-9</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[5-[4-(trifluoromethyl)phenyl]-3-[(2,3,6-trimethylphenoxy)methyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

F 3C CH 2-S 0-CH 2-E0 2H

Me Me

RN 476155-11-0 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-[[[6-methyl-2-(1-methylethyl)-4-pyrimidinyl]oxy]methyl]-5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

F 3C $O-CH_2=CO_2H$ $O-CH_2=CO_2H$ $O-CH_2=CO_2H$ $O-CH_2=CO_2H$ $O-CH_2=CO_2H$ $O-CH_2=CO_2H$

RN 476155-12-1 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-[(2-quinolinylthio)methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476155-13-2</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-[(1H-1,2,4-triazol-3-ylthio)methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476155-14-3</u> HCAPLUS

CN Acetic acid, [4-[[[2-[[4-(4-methoxyphenyl)-1-piperazinyl]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN <u>476156-38-4</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[3-methyl-4-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476156-39-5</u> HCAPLUS

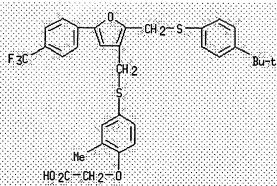
CN Acetic acid, [4-[2-[5-(4-chlorophenyl)-2-[[(phenylmethyl)thio]methyl]-3-furanyl]ethyl]-2-methylphenoxy]- (9CI) (CA INDEX NAME)

RN 476156-41-9 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476156-48-6</u> HCAPLUS

CN Acetic acid, [4-[[[2-[[[4-(1,1-dimethylethyl)phenyl]thio]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RN 476156-49-7 HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-[[methyl(3-pyridinylmethyl)amino]methyl]-5-

[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

RN <u>476156-50-0</u> HCAPLUS

CN

Acetic acid, [4-[[[2-[(cyclohexylmethylamino)methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]-2-methylphenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

HC1

RN <u>476156-51-1</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[[2-[[methyl(2-phenylethyl)amino]methyl]-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]thio]phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

HC1

RN <u>476156-52-2</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[1-[5-[4-(trifluoromethyl)phenyl]-2-thienyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 476156-53-3 HCAPLUS

CN Acetic acid, [2-methyl-4-[1-[5-[4-(trifluoromethyl)phenyl]-3-furanyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>476156-54-4</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[[3-methyl-5-[4-(1,1,2-trifluoroethoxy)phenyl]-2-thienyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN

Full States
Text References

ACCESSION NUMBER: 2002:487541 HCAPLUS

DOCUMENT NUMBER: 137:63239

TITLE: Thia- and oxazoles and their use as hPPAR delta

agonists

INVENTOR(S): Beswick, Paul John; Patel, Vipulkumar; Sierra,

Michael Lawrence

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.						KIND DATE			APPLICATION NO.										
	WO 2002050048					A1 20020627														
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			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,		
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PRIORITY APPLN. INFO.:											GB 2	000-	3110	9	1	A 2	0001	220		
											WO 2	001-	EP14	887	1	₩ 2	0011	218		
OTHE	OURCE	MAR	PAT	137:	6323	9														

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 R^{3} R^{4} R^{2} R^{2

AB I (e.g. [4-[1,1-difluoro-3-[4-methyl-2-[4-(trifluoromethyl)phenyl]-1,3-thiazol-5-yl]propyl]-2-methylphenoxy]acetic acid) or pharmaceutically acceptable salts and solvates thereof are claimed. R1 and R2 are independently H or C1-3alkyl, m is 0-3; X1 is NH, NCH3, O, S; R3, R4 and R5 are independently H, CH3, CF3, OCH3, allyl or halogen; X2 is (CR10R11)n wherein n is 1 or 2; R10 and R11 independently represent H, F or C1-16alkyl; R26 and R27 are independently H, C1-3 alkyl or R26 and R27 together with the C atom to which they are bonded form a 3-5 membered

cycloalkyl ring. R6 and R7 independently represent H, F or C1-16alkyl; R9 is C1-6alkyl or CF3; one of Y and Z is N, the other is S or O; each R8 independently represents CF3, OCH3, CH3 or halogen; y is 0-5. Use of I for the manuf. of a medicament for the prevention or treatment of a hPPAR (human peroxisome proliferator activated receptor)-mediated disease or condition, such as dyslipidemia, syndrome X, heart failure, hypercholesteremia, cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance hyperlipidemia, obesity, anorexia, bulimia, inflammation and anorexia nervosa. Binding and transfection assays are described but no results are given. Although the methods of prepn. are not claimed, 35 example prepns. of intermediates and claimed compds. are included.

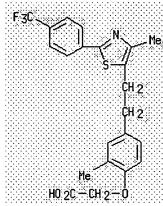
IT 439135-02-1P, [2-Methyl-4-[2-[4-methyl-2-[4-

(trifluoromethyl)phenyl]-1,3-thiazol-5-yl]ethyl]phenoxy]acetic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(thia- and oxazoles and use as hPPAR delta agonists)

RN 439135-02-1 HCAPLUS

CN Acetic acid, [2-methyl-4-[2-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L1

L5

(FILE 'HOME' ENTERED AT 16:08:19 ON 20 DEC 2005)

6

FILE 'REGISTRY' ENTERED AT 16:08:25 ON 20 DEC 2005 STRUCTURE UPLOADED

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L3 1449 S L2 FULL

FILE 'HCAPLUS' ENTERED AT 16:11:25 ON 20 DEC 2005

L4 102 S L3/THU

34 S L4 AND PD < JULY 2002

L6 1 S L4 AND BELL, R?/AU

L7 101 S L4 NOT L6

L8 2 S L7 AND BESWICK, P?/AU

=> s 14 not 18

L9 100 L4 NOT L8

=> s 19 not 16

99 L9 NOT L6 L10

=> s 110 and gosmini, r?/au

16 GOSMINI, R?/AU

1 L10 AND GOSMINI, R?/AU

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L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

Full Selete to ea Text

2002:615588 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 137:169510

TITLE: Preparation of thiazole and oxazole derivatives for

treating human PPAR related disorders

INVENTOR(S): Cadilla, Rodolfo; Gosmini, Romain Luc Marie;

Lambert, Millard Hurst, III; Sierra, Michael Lawrence

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.						KIND DATE			APPL	ICAT	ION I	NO.	DATE					
WO	WO 2002062774					A1 20020815			WO 2001-US49230					20011219					
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<u>CA</u>	CA 2432188					AA 20020815				CA 2001-2432188									
EP	EP 1343773				A1	1 20030917				EP 2001-994305					20011219				
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ZA	ZA 2003004679					A 20041004				ZA 2003-4679					20030617				
NO	NO 2003002801						20030804			NO 2003-2801					20030619				
US	<u>US 2004063964</u>						20040401			US 2003-451313					20031020				
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OTHER SOURCE(S):

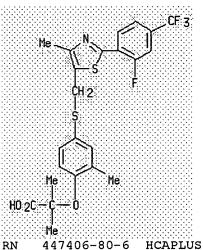
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AΒ Title compds. I [wherein R1 and R2 = independently H, or alkyl; or CR1R2 = cycloalkyl; and at least one or R1 and R2 ? H; X2 = O, S, or (CR10R11)n; n = 1-2; R3-R5 = independently H, alkyl, OMe, CF3, allyl, or halo; R10 and R11 = independently H, F, or alkyl; one of Y and Z is N, and the other is S or O; R6 and R7 = independently H, Ph, PhCH2, F, OH, alkyl, or allyl; or CR6R7 = CO; R9 = H, CF3, or Me; R8 = independently CF3, alkyl, OMe, or halo; m = 0-5; or pharmaceutically acceptable salts, solvates, or hydrolyzable esters thereof] were prepd. as selective human peroxisome proliferator-activated receptor (hPPAR) activators. For example, Et 2-(4-hydroxy-2-methylphenoxy)-2-methylpropanoate was condensed with $(R)-\alpha$, 4-dimethyl-2-(4-trifluoromethylphenyl)-5-thiazolemethanol using Mitsunobu protocol to give the Et ester of (S)-II (52.5%). Sapon. afforded the acid (S)-II (52.5%), which activated hPPAR α , hPPARδ, and hPPARγ with EC50 values of 16 nM, 3 nM, and 7000 nM, resp. I are useful for the treatment hPPAR mediated diseases or conditions, such as dyslipidemia, syndrome X, heart failure, hypercholesteremia, cardiovascular disease, type II diabetes mellitus, type I diabetes, insulin resistance, hyperlipidemia, obesity, anorexia bulimia, and anorexia nervosa (no data).

IT 447406-78-2P, 2-[4-[[[2-[2-Fluoro-4-(trifluoromethyl)phenyl]-4methyl-1,3-thiazol-5-yl]methyl]sulfanyl]-2-methylphenoxy]-2methylpropanoic acid 447406-80-6P, 2-Methyl-2-[2-methyl-4-[1-[4methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid 447406-82-8P, [2-Methyl-4-[1-[4-methyl-2-(4trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]acetic acid 447406-84-0P, 2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(3-fluoro-4trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid 447406-86-2P, (S)-2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid 447406-88-4P, (R)-2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid 447406-90-8P, 2-[4-[1-[2-(4-Chlorophenyl)-4-methylthiazol-5yl]ethoxy]-2-methylphenoxy]-2-methylpropionic acid 447406-92-0P, 2-[4-[1-[2-(3,4-Dichlorophenyl)-4-methylthiazol-5-yl]ethoxy]-2methylphenoxy]-2-methylpropionic acid 447406-94-2P, 2-[4-[1-[2-(4-Ethylphenyl)-4-methylthiazol-5-yl]ethoxy]-2-methylphenoxy]-2methylpropionic acid 447406-96-4P, 2-[4-[1-[2-(2-Fluoro-4trifluoromethylphenyl)-4-methylthiazol-5-yl]ethoxy]-2-methylphenoxy]-2-(4-trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid 447407-00-3P, 2-Methyl-2-[2-methyl-4-[1-methyl-1-[4-methyl-2-(4trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid

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447407-02-5P, 2-Methyl-2-[2-methyl-4-[1-methyl-1-[2-(4-
trifluoromethylphenyl)thiazol-5-yl]ethoxy]phenoxy]propionic acid
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trifluoromethylphenyl)thiazol-5-yl]propoxy]phenoxy]propionic acid
447407-06-9P, (R)-2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-
trifluoromethylphenyl)thiazol-5-yl]propoxy]phenoxy]propionic acid
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trifluoromethylphenyl)thiazol-5-yl]propoxy]phenoxy]propionic acid
447407-10-5P, 2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-
trifluoromethylphenyl)thiazol-5-yl]but-3-enyloxy]phenoxy]propionic acid
447407-12-7P, 2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-
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447407-16-1P, 2-[4-[Cyclopentyl[4-methyl-2-(4-
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methyl-2-(4-trifluoromethylphenyl)thiazol-5-yl]phenylmethoxy]phenoxy]propi
onic acid 447407-20-7P, 2-Methyl-2-[2-methyl-4-[4-methyl-2-(4-
trifluoromethylphenyl)thiazol-5-ylmethoxy]phenoxy]propionic acid
447407-22-9P, 2-Methyl-2-[2-methyl-4-[1-[4-methyl-2-(4-
trifluoromethylphenyl)thiazol-5-yl]-2-phenylethoxy]phenoxy]propionic acid
447407-24-1P 447407-26-3P 447407-28-5P
447407-30-9P 447407-32-1P 447407-34-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (PPAR activator; prepn. of thiazole and oxazole derivs. for treating
      human PPAR related disorders)
447406-78-2 HCAPLUS
Propanoic acid, 2-[4-[[[2-[2-fluoro-4-(trifluoromethy1)pheny1]-4-methy1-5-
```

thiazolyl]methyl]thio]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN

CN

CN

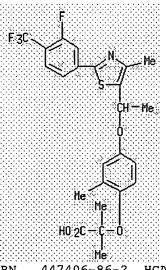
Propanoic acid, 2-methyl-2-[2-methyl-4-[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>447406-82-8</u> HCAPLUS

CN Acetic acid, [2-methyl-4-[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 447406-84-0 HCAPLUS

CN Propanoic acid, 2-[4-[1-[2-[3-fluoro-4-(trifluoromethyl)phenyl]-4-methyl-5-thiazolyl]ethoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN <u>447406-86-2</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[(1S)-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX

NAME)

Absolute stereochemistry. Rotation (-).

RN <u>447406-88-4</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[(1R)-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 447406-90-8 HCAPLUS

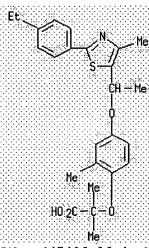
CN Propanoic acid, 2-[4-[1-[2-(4-chlorophenyl)-4-methyl-5-thiazolyl]ethoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

RN 447406-92-0 HCAPLUS

CN Propanoic acid, 2-[4-[1-[2-(3,4-dichlorophenyl)-4-methyl-5-thiazolyl]ethoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

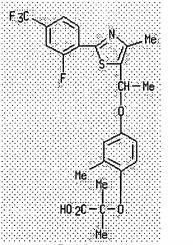
RN <u>447406-94-2</u> HCAPLUS

CN Propanoic acid, 2-[4-[1-[2-(4-ethylphenyl)-4-methyl-5-thiazolyl]ethoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN <u>447406-96-4</u> HCAPLUS

CN Propanoic acid, 2-[4-[1-[2-[2-fluoro-4-(trifluoromethyl)phenyl]-4-methyl-5-thiazolyl]ethoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)

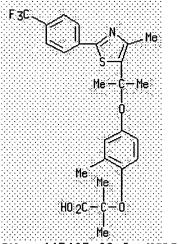


RN <u>447406-98-6</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-[2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 447407-00-3 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-methyl-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



RN 447407-02-5 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-methyl-1-[2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>447407-04-7</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]propoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>447407-06-9</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[(1R)-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]propoxy]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 447407-08-1 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[(1S)-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]propoxy]phenoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 447407-10-5 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-3-butenyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

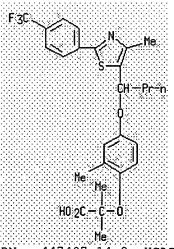
F3C

$$CH-CH_2-CH \Longrightarrow CH_2$$
 $H0.2C-C-0$

Me

RN <u>447407-12-7</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]butoxy]phenoxy]- (9CI) (CA INDEX NAME)



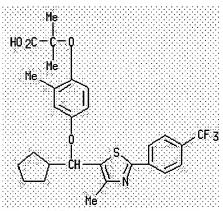
RN <u>447407-14-9</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[4-methyl-2-[4-

(trifluoromethyl)phenyl]-5-thiazolyl]pentyl]oxy]phenoxy]- (9CI) (CA INDEX NAME)

RN 447407-16-1 HCAPLUS

CN Propanoic acid, 2-[4-[cyclopentyl[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methoxy]-2-methylphenoxy]-2-methyl- (9CI) (CA INDEX NAME)



RN <u>447407-18-3</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]phenylmethoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>447407-20-7</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)

RN <u>447407-22-9</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-2-phenylethoxy]phenoxy]- (9CI) (CA INDEX NAME)

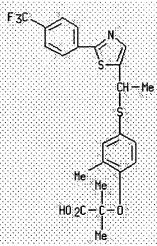
RN <u>447407-24-1</u> HCAPLUS

CN

Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

RN 447407-26-3 HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

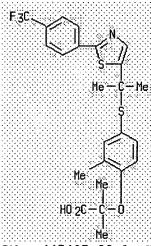


RN <u>447407-28-5</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-methyl-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

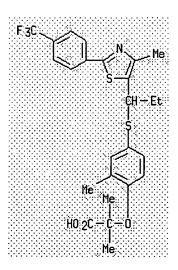
RN <u>447407-30-9</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-methyl-1-[2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]ethyl]thio]phenoxy]- (9CI) (CA INDEX NAME)

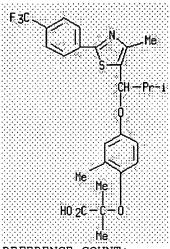


RN <u>447407-32-1</u> HCAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]propyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



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RN 447407-34-3 HCAPLUS
CN Propanoic acid, 2-methyl-2-[2-methyl-4-[2-methyl-1-[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]propoxy]phenoxy]- (9CI) (CA INDEX NAME)
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REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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